

=> d'his nofile

(FILE 'HOME' ENTERED AT 16:21:22 ON 31 OCT 2006)

FILE 'CAPLUS' ENTERED AT 16:21:28 ON 31 OCT 2006  
E US2005-531618/APPS

L1 1 SEA ABB=ON PLU=ON US2005-531618/AP  
D SCAN  
SEL RN L1

FILE 'REGISTRY' ENTERED AT 16:21:48 ON 31 OCT 2006

L2 4 SEA ABB=ON PLU=ON (478162-78-6/BI OR 781651-20-5/BI OR  
781651-21-6/BI OR 9004-10-8/BI)

D SCAN

L3 STRUCTURE UPLOADED

L4 0 SEA SSS SAM L3

FILE 'STNGUIDE' ENTERED AT 16:22:19 ON 31 OCT 2006

FILE 'REGISTRY' ENTERED AT 16:28:30 ON 31 OCT 2006

L5 STRUCTURE UPLOADED

D QUE L5

L6 0 SEA SSS SAM L5

FILE 'STNGUIDE' ENTERED AT 16:29:21 ON 31 OCT 2006

FILE 'REGISTRY' ENTERED AT 16:29:41 ON 31 OCT 2006

L7 STRUCTURE UPLOADED

L8 18 SEA SSS SAM L7

L9 STRUCTURE UPLOADED

L10 11 SEA SSS SAM L9

L11 0 SEA SSS SAM L5

D QUE L5

L12 11 SEA SSS SAM L9

FILE 'STNGUIDE' ENTERED AT 16:31:02 ON 31 OCT 2006

FILE 'REGISTRY' ENTERED AT 16:31:22 ON 31 OCT 2006

L13 STRUCTURE UPLOADED

L14 11 SEA SSS SAM L13

FILE 'STNGUIDE' ENTERED AT 16:31:44 ON 31 OCT 2006

FILE 'REGISTRY' ENTERED AT 16:32:06 ON 31 OCT 2006

L15 STRUCTURE UPLOADED

L16 0 SEA SSS SAM L15

D QUE L5

L17 2 SEA SSS FUL L5

D SCAN

L18 2 SEA ABB=ON PLU=ON L2 AND L17

L19 2 SEA ABB=ON PLU=ON L2 NOT L17

D SCAN

D QUE L5

D SCAN L18

FILE 'HCAPLUS' ENTERED AT 16:34:34 ON 31 OCT 2006

L20 1 SEA ABB=ON PLU=ON L17

FILE 'MEDLINE, EMBASE, BIOSIS, CAOLD' ENTERED AT 16:34:48 ON 31 OCT 2006

L21           0 SEA ABB=ON   PLU=ON   L17

FILE 'BEILSTEIN' ENTERED AT 16:34:56 ON 31 OCT 2006

L22           0 SEA SSS FUL L5

FILE 'MARPAT' ENTERED AT 16:35:16 ON 31 OCT 2006

L23           96 SEA SSS FUL L5

FILE 'STNGUIDE' ENTERED AT 16:37:04 ON 31 OCT 2006

FILE 'MARPAT' ENTERED AT 16:37:59 ON 31 OCT 2006

L24           STRUCTURE UPLOADED

L25           1 SEA SSS SAM L24

L26           2 SEA SSS SAM L5

L27           1 SEA SSS SAM L24

L28           3 SEA SUB=L23 SSS SAM L24

L29           31 SEA SUB=L23 SSS FUL L24

L30           27 SEA ABB=ON   PLU=ON   L29/COM

L31           26 SEA ABB=ON   PLU=ON   L30 NOT L20

FILE 'WPIX' ENTERED AT 16:42:33 ON 31 OCT 2006

L32           0 SEA SSS SAM L5

L33           1 SEA SSS FUL L5

L34           1 SEA ABB=ON   PLU=ON   L33/DCR  
SEL SDCN L33  
EDIT E5 SDCN DCN

L\*\*\* DEL      10 S CE5  
SEL SDCN L33  
EDIT E6 SDCN DCN

L35           1 SEA ABB=ON   PLU=ON   RAFW5L/DCN  
SEL DCSE L33  
EDIT E7 DCSE DCRE

L36           0 SEA ABB=ON   PLU=ON   981483-0-0-0/DCRE

L37           2 SEA ABB=ON   PLU=ON   (L33 OR L34 OR L35)

L38           1 SEA ABB=ON   PLU=ON   (L34 OR L35)

FILE 'HCAPLUS' ENTERED AT 16:45:24 ON 31 OCT 2006

E HODGE K/AU

L39           12 SEA ABB=ON   PLU=ON   ("HODGE K"/AU OR "HODGE KIRVIN L"/AU)  
E SHARMA S/AU  
E SHARMA S/AU

L40           3397 SEA ABB=ON   PLU=ON   ("SHARMA S"/AU OR "SHARMA S A"/AU OR  
"SHARMA S A N"/AU OR "SHARMA S AMITA"/AU OR "SHARMA S B"/AU OR  
"SHARMA S C"/AU OR "SHARMA S C L"/AU OR "SHARMA S CHIDANANDA"/A  
U OR "SHARMA S D"/AU OR "SHARMA S D GURUMAYUM"/AU OR "SHARMA S  
DAS"/AU OR "SHARMA S G"/AU OR "SHARMA S H K"/AU OR "SHARMA S  
J"/AU OR "SHARMA S K"/AU OR "SHARMA S KUMAR"/AU OR "SHARMA S  
L"/AU OR "SHARMA S M"/AU OR "SHARMA S N"/AU OR "SHARMA S P"/AU  
OR "SHARMA S R"/AU OR "SHARMA S RAMA GOPAL"/AU OR "SHARMA S  
S"/AU OR "SHARMA S SEN"/AU OR "SHARMA S SHELLEY"/AU OR "SHARMA  
S SHELLY"/AU OR "SHARMA S V"/AU)  
E SHARMA SHA/AU

L41           38 SEA ABB=ON   PLU=ON   "SHARMA SHALINI"/AU

L42           3434 SEA ABB=ON   PLU=ON   (L40 OR L41)  
E VON BORSTEL/AU

L43           51 SEA ABB=ON   PLU=ON   ("VON BORSTEL R"/AU OR "VON BORSTEL  
REID"/AU OR "VON BORSTEL REID W"/AU OR "VON BORSTEL REID  
WARREN"/AU)  
E VONBORSTEL/AU

L44           2 SEA ABB=ON   PLU=ON   "VONBORSTEL REID W"/AU

L45 53 SEA ABB=ON PLU=ON (L43 OR L44)  
E WOLPE S/AU  
L46 34 SEA ABB=ON PLU=ON ("WOLPE S"/AU OR "WOLPE S D"/AU OR "WOLPE  
STEPHEN"/AU OR "WOLPE STEPHEN D"/AU OR "WOLPE STEVE D"/AU OR  
"WOLPE STEVE S"/AU OR "WOLPE STEVEN"/AU)  
L47 7 SEA ABB=ON PLU=ON (L39 AND (L42 OR L45 OR L46)) OR (L42 AND  
(L45 OR L46)) OR (L45 AND L46)  
L48 1 SEA ABB=ON PLU=ON (L20 OR L1)  
D QUE L17

FILE 'REGISTRY' ENTERED AT 16:49:28 ON 31 OCT 2006  
D SCAN L19

L49 1 SEA ABB=ON PLU=ON L19 AND C19H2004/MF  
D RN

FILE 'HCAPLUS' ENTERED AT 16:50:17 ON 31 OCT 2006

L50 3 SEA ABB=ON PLU=ON L49  
L51 112876 SEA ABB=ON PLU=ON L2

FILE 'REGISTRY' ENTERED AT 16:50:51 ON 31 OCT 2006

L52 2 SEA ABB=ON PLU=ON L2 AND L17  
L53 3 SEA ABB=ON PLU=ON (L52 OR L49)  
D SCAN

FILE 'HCAPLUS' ENTERED AT 16:51:16 ON 31 OCT 2006

L54 3 SEA ABB=ON PLU=ON L53  
L55 3 SEA ABB=ON PLU=ON (L54 OR L50 OR L20)

FILE 'MEDLINE, EMBASE, BIOSIS, CAOLD' ENTERED AT 16:51:47 ON 31 OCT 2006

L56 0 SEA ABB=ON PLU=ON L53

FILE 'HCAPLUS' ENTERED AT 16:52:13 ON 31 OCT 2006

D QUE L47  
D IBIB ABS L47 TOT  
D QUE L50  
D QUE L55  
D IBIB ABS HITSTR L55 TOT

FILE 'MARPAT' ENTERED AT 16:53:10 ON 31 OCT 2006

D QUE L31  
D IBIB ABS QHIT L31 TOT

FILE 'WPIX' ENTERED AT 16:54:41 ON 31 OCT 2006

D QUE L38  
D ALL ABEQ TECH L38 TOT

FILE 'REGISTRY' ENTERED AT 16:56:53 ON 31 OCT 2006

L57 STRUCTURE UPLOADED  
L58 0 SEA SSS SAM L57

FILE 'STNGUIDE' ENTERED AT 16:57:09 ON 31 OCT 2006

FILE 'REGISTRY' ENTERED AT 16:57:38 ON 31 OCT 2006

L59 STRUCTURE UPLOADED  
L60 0 SEA SSS SAM L59  
L61 STRUCTURE UPLOADED  
L62 0 SEA SSS SAM L61  
L63 2 SEA SSS FUL L61  
L64 2 SEA ABB=ON PLU=ON (L63 OR L17)

=> file hcaplus

FILE 'HCAPLUS' ENTERED AT 16:52:13 ON 31 OCT 2006

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PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

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FILE COVERS 1907 - 31 Oct 2006 VOL 145 ISS 19

FILE LAST UPDATED: 30 Oct 2006 (20061030/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d que 147

L39 12 SEA FILE=HCAPLUS ABB=ON PLU=ON ("HODGE K"/AU OR "HODGE KIRVIN L"/AU)  
L40 3397 SEA FILE=HCAPLUS ABB=ON PLU=ON ("SHARMA S"/AU OR "SHARMA S A"/AU OR "SHARMA S A N"/AU OR "SHARMA S AMITA"/AU OR "SHARMA S B"/AU OR "SHARMA S C"/AU OR "SHARMA S C L"/AU OR "SHARMA S CHIDANANDA"/AU OR "SHARMA S D"/AU OR "SHARMA S D GURUMAYUM"/AU OR "SHARMA S DAS"/AU OR "SHARMA S G"/AU OR "SHARMA S H K"/AU OR "SHARMA S J"/AU OR "SHARMA S K"/AU OR "SHARMA S KUMAR"/AU OR "SHARMA S L"/AU OR "SHARMA S M"/AU OR "SHARMA S N"/AU OR "SHARMA S P"/AU OR "SHARMA S R"/AU OR "SHARMA S RAMA GOPAL"/AU OR "SHARMA S S"/AU OR "SHARMA S SEN"/AU OR "SHARMA S SHELLEY"/AU OR "SHARMA S SHELLEY"/AU OR "SHARMA S SHELLEY"/AU OR "SHARMA S SHELLEY"/AU)  
L41 38 SEA FILE=HCAPLUS ABB=ON PLU=ON "SHARMA SHALINI"/AU  
L42 3434 SEA FILE=HCAPLUS ABB=ON PLU=ON (L40 OR L41)  
L43 51 SEA FILE=HCAPLUS ABB=ON PLU=ON ("VON BORSTEL R"/AU OR "VON BORSTEL REID"/AU OR "VON BORSTEL REID W"/AU OR "VON BORSTEL REID WARREN"/AU)  
L44 2 SEA FILE=HCAPLUS ABB=ON PLU=ON "VONBORSTEL REID W"/AU  
L45 53 SEA FILE=HCAPLUS ABB=ON PLU=ON (L43 OR L44)  
L46 34 SEA FILE=HCAPLUS ABB=ON PLU=ON ("WOLPE S"/AU OR "WOLPE S D"/AU OR "WOLPE STEPHEN"/AU OR "WOLPE STEPHEN D"/AU OR "WOLPE STEVE D"/AU OR "WOLPE STEVE S"/AU OR "WOLPE STEVEN"/AU)  
L47 7 SEA FILE=HCAPLUS ABB=ON PLU=ON (L39 AND (L42 OR L45 OR L46)) OR (L42 AND (L45 OR L46)) OR (L45 AND L46)

=> d ibib abs 147 tot

L47 ANSWER 1 OF 7 HCAPLUS COPYRIGHT 2006 ACS on STN

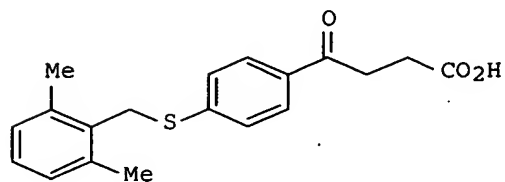
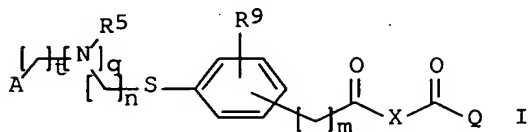
ACCESSION NUMBER: 2005:177884 HCAPLUS Full-text

DOCUMENT NUMBER: 142:279944

TITLE: Préparation of phenyl thioethers for the treatment of

metabolic disorders  
 INVENTOR(S): *Sharma, Shalini; Von Borstel, Reid W.; Hodge, Kirvin L.*  
 PATENT ASSIGNEE(S): Wellstat Therapeutics Corporation, USA  
 SOURCE: PCT Int. Appl., 42 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005018628	A1	20050303	WO 2004-US26561	20040816
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004266673	A1	20050303	AU 2004-266673	20040816
CA 2533890	AA	20050303	CA 2004-2533890	20040816
EP 1656127	A1	20060517	EP 2004-781277	20040816
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
CN 1835743	A	20060920	CN 2004-80023552	20040816
NO 2006000502	A	20060503	NO 2006-502	20060131
PRIORITY APPLN. INFO.:			US 2003-496533P	P 20030820
			WO 2004-US26561	W 20040816
OTHER SOURCE(S):			CASREACT 142:279944; MARPAT 142:279944	
GI				



II

AB The title compds. I [n = 1-2; m, q, t = 0-1; R5 = alkyl; R9 = H, halo, alkyl, alkoxy; A = (un)substituted Ph, cycloalkyl, 5-6 membered heteroarom. ring having 1 or 2 ring heteroatoms selected from N, S and O and the heteroarom. ring is covalently bound to the remainder of the compound I by a ring carbon; X = CH2; Q = OR1 and R1 = Me, Et; or X = CH2CR12R13 or CH2CH(NHAc) (wherein R12, R13 = H, Me), Q = OR1 and R1 = H, alkyl; or X = CH2CH2 and Q = NR10R11 (wherein one of R10 and R11 = H, alkyl or OH, and the other = H); alternatively, when R1 = H, the biol. active agent can be a pharmaceutically acceptable salt of the compound I], useful for the treatment of various metabolic disorders, such as insulin resistance syndrome, diabetes, hyperlipidemia, fatty liver disease, cachexia, obesity, atherosclerosis and arteriosclerosis are disclosed. E.g., a multi-step synthesis of II, starting from 2,6-dimethylbenzyl alc., was given. The pharmaceutical composition comprising the compound I is also disclosed.

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L47 ANSWER 2 OF 7 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:995903 HCAPLUS Full-text

DOCUMENT NUMBER: 141:410698

TITLE: Preparation of  $\alpha$ -oxoacid-substituted phenols for the treatment of metabolic disorders

INVENTOR(S): Hodge, Kirvin L.; Sharma, Shalini; Von Borstel, Reid W.

PATENT ASSIGNEE(S): Wellstat Therapeutics Corporation, USA; Von Borstel, Reid W.

SOURCE: PCT Int. Appl., 52 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

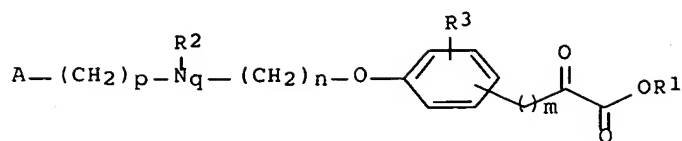
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004098496	A2	20041118	WO 2004-US12141	20040420
WO 2004098496	A3	20050331		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2004237602	A1	20041118	AU 2004-237602	20040420
CA 2522738	AA	20041118	CA 2004-2522738	20040420
EP 1617835	A2	20060125	EP 2004-750363	20040420
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR			
CN 1780614	A	20060531	CN 2004-80011552	20040420
PRIORITY APPLN. INFO.:			US 2003-466663P	P 20030430
			WO 2004-US12141	W 20040420

OTHER SOURCE(S): CASREACT 141:410698; MARPAT 141:410698

GI



AB Title compds. I [n = 1-2; m = 0-4; q, p = 0-1; R<sup>2</sup> = alkyl; R<sup>3</sup> = H, halo; A = (un)substituted Ph, cycloalkyl, etc.; R<sup>1</sup> = H, alkyl] are prepared For instance, 2-oxo-2-[3-(2,6-dimethylbenzyloxy)phenyl]acetic acid (II) is prepared by SeO<sub>2</sub> oxidation of the corresponding ethanone precursor (prior art). II showed a statistically significant decrease in blood glucose and triglycerides in obese mice compared to control at 60 mg/Kg. I are useful for the treatment of various metabolic disorders, such as insulin resistance syndrome, diabetes, hyperlipidemia, fatty liver disease, cachexia, obesity, atherosclerosis and arteriosclerosis.

L47 ANSWER 3 OF 7 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:927013 HCAPLUS Full-text

DOCUMENT NUMBER: 141:395291

TITLE: Preparation of benzyloxyphenyl acids and related compounds for the treatment of metabolic disorders

INVENTOR(S): Hodge, Kirvin L.; Kaufman, Robert J.; Lee, Albert; Sharma, Shalini; Von Borstel, Reid W.

PATENT ASSIGNEE(S): Wellstat Therapeutics Corporation, USA

SOURCE: PCT Int. Appl., 42 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

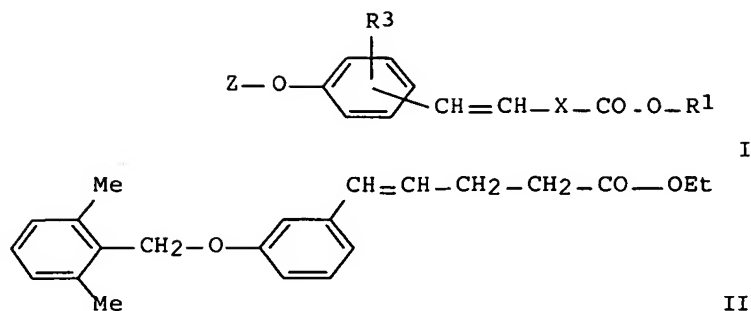
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004093806	A2	20041104	WO 2004-US12142	20040420
WO 2004093806	A3	20050407		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2521589	AA	20041104	CA 2004-2521589	20040420
EP 1618086	A2	20060125	EP 2004-750364	20040420
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
CN 1777576	A	20060524	CN 2004-80010732	20040420
JP 2006524252	T2	20061026	JP 2006-513151	20040420
PRIORITY APPLN. INFO.:				
			US 2003-464553P	P 20030422
			WO 2004-US12142	W 20040420

OTHER SOURCE(S):  
GI

MARPAT 141:395291



AB Title compds. I [ $Z = (CH_2)_n(NR_3)_q(CH_2)_tA$ ;  $X = (CH_2)_m$ ;  $R_1 = H$ , alkyl;  $R_2 =$  alkyl;  $R_3 = H$ , halo, alkyl, etc.;  $n = 1-2$ ;  $m = 2-3$ ;  $q = 0-1$ ;  $t = 0-1$ ;  $A =$  (un)substituted Ph, cycloalkyl, heteroarom., etc.] and their pharmaceutically acceptable salts were prepared For example, condensation of 3-(2,6-dimethylbenzyloxy)benzaldehyde and triphenylethylbutyrate phosphonium bromide afforded claimed benzyloxyphenyl acid ester II in 62% yield. In serum glucose assays in b/db mice, compound II exhibited glucose mg/dL of 651 at 100 mg/kg dosage. Compds. I are claimed useful for the treatment of metabolic disorders, i.e., diabetes, metabolic syndrome X, obesity, etc.

L47 ANSWER 4 OF 7 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:902090 HCAPLUS Full-text

DOCUMENT NUMBER: 141:384282

TITLE: Compounds for the treatment of metabolic disorders

INVENTOR(S): *Hodge, Kirvin L.; Sharma, Shalini;*  
*Von Borstel, Reid W.; Wolpe, Stephen*  
*D.*

PATENT ASSIGNEE(S): Wellstat Therapeutics Corporation, USA

SOURCE: PCT Int. Appl., 47 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004091486	A2	20041028	WO 2004-US10799	20040408
WO 2004091486	A3	20050120		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN,			



TD, TG

AU 2004229418	A1	20041028	AU 2004-229418	20040408
CA 2521621	AA	20041028	CA 2004-2521621	20040408
EP 1633340	A2	20060315	EP 2004-759257	20040408
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
BR 2004009469	A	20060418	BR 2004-9469	20040408
CN 1774244	A	20060517	CN 2004-80010105	20040408
JP 2006523696	T2	20061019	JP 2006-509802	20040408
US 2006014784	A1	20060119	US 2005-531618	20050414
NO 2005004791	A	20051220	NO 2005-4791	20051018
PRIORITY APPLN. INFO.:			US 2003-462960P	P 20030415
			WO 2004-US10799	W 20040408

OTHER SOURCE(S): MARPAT 141:384282

AB Agents such as 4-(3-(2,6-dimethylbenzyloxy)phenyl)-4-hydroxybutanoic acid, useful for the treatment of various metabolic disorders, such as insulin resistance syndrome, diabetes, hyperlipidemia, fatty liver disease, cachexia, obesity, atherosclerosis and arteriosclerosis are disclosed. Thus, 4-(3-(2,6-dimethylbenzyloxy)phenyl)-4-(R)-hydroxybutanoic acid was prepared by the NaBH<sub>4</sub> reduction of 4-(3-(2,6-dimethylbenzyloxy)phenyl)-4-oxobutanoic acid. The above compound elicited a significant reduction in blood glucose.

L47 ANSWER 5 OF 7 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:718293 HCAPLUS Full-text

DOCUMENT NUMBER: 141:236676

TITLE: Compounds for the treatment of metabolic disorders

INVENTOR(S): Hodge, Kirvin L.; Lee, Albert; Sharma, Shalini; Von Borstel, Reid W.

PATENT ASSIGNEE(S): Wellstat Therapeutics Corporation, USA

SOURCE: PCT Int. Appl., 78 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2004073611	A2	20040902	WO 2004-US3718	20040209
WO 2004073611	A3	20041125		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG.				
AU 2004212905	A1	20040902	AU 2004-212905	20040209
CA 2513092	AA	20040902	CA 2004-2513092	20040209
EP 1601251	A2	20051207	EP 2004-709467	20040209
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2004007506	A	20060214	BR 2004-7506	20040209
CN 1750758	A	20060322	CN 2004-80004150	20040209
JP 2006517920	T2	20060803	JP 2005-518490	20040209
NO 2005003211	A	20051020	NO 2005-3211	20050630
PRIORITY APPLN. INFO.:			US 2003-447168P	P 20030213
			WO 2004-US3718	W 20040209

OTHER SOURCE(S): MARPAT 141:236676

AB Agents useful for the treatment of various metabolic disorders, such as insulin resistance syndrome, diabetes, hyperlipidemia, fatty liver disease, cachexia, obesity, atherosclerosis and arteriosclerosis are disclosed. Formula (I) wherein n is 1 or 2; m is 0, 1, 2, 4 or 5; q is 0 or 1; t is 0 or 1; R2 is alkyl from 1 to 3 carbon atoms; R3 is hydrogen, halo, alkyl having from 1 to 3 carbon atoms, or alkoxy having from 1 to 3 carbon atoms; A is Ph, unsubstituted or substituted by or 1 or 2 groups selected from: halo, alkyl having 1 or 2 carbon atoms, perfluoromethyl, alkoxy having 1 or 2 carbon atoms, and perfluoromethoxy; or cycloalkyl having from 3 to 6 ring carbon atoms wherein the cycloaldyl is unsubstituted or one or two ring carbons are independently mono-substituted by Me or ethyl; or a 5 or 6 membered heteroarom. ring having 1 or 2 ring heteroatoms selected from N, S and O and the heteroarom. ring is covalently bound to the remainder of the compds. of formula (I) by a ring carbon; and R1 is hydrogen or alkyl having 1 or 2 carbon atoms. Alternatively, when R1 is hydrogen, the biol. active agent can be a pharmaceutically acceptable salt of the compound of Formula (I).

L47 ANSWER 6 OF 7 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:412751 HCAPLUS Full-text

DOCUMENT NUMBER: 140:400084

TITLE: Oxocarboxylic acids and esters thereof for the treatment of metabolic disorders

INVENTOR(S): Hodge, Kirvin L.; Sharma, Shalini; Von Borstel, Reid W.; Wolpe, Stephen D.

PATENT ASSIGNEE(S): Wellstat Therapeutics Corporation, USA; Von Borstel, Reid W.

SOURCE: PCT Int. Appl., 22 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004041165	A2	20040521	WO 2003-US34185	20031028
WO 2004041165	A3	20050203		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2502297	AA	20040521	CA 2003-2502297	20031028
AU 2003286728	A1	20040607	AU 2003-286728	20031028
EP 1556085	A2	20050727	EP 2003-777939	20031028
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JP 2006507303	T2	20060302	JP 2004-550151	20031028
US 2006035970	A1	20060216	US 2005-532690	20050426
PRIORITY APPLN. INFO.:			US 2002-423253P	P 20021101
			WO 2003-US34185	W 20031028

AB Oxocarboxylic acids and esters thereof are disclosed which are useful for the treatment of various metabolic disorders, e.g. insulin resistance syndrome, diabetes, hyperlipidemia, fatty liver disease, cachexia, obesity, atherosclerosis and arteriosclerosis.

L47 ANSWER 7 OF 7 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:964135 HCAPLUS Full-text

DOCUMENT NUMBER: 138:24543

TITLE: Preparation of benzyloxyphenyloxobutyrate and related compounds for the treatment of metabolic disorders

INVENTOR(S): Sharma, Shalini; Von Borstel, Reid W.; Hodge, Kirvin L.

PATENT ASSIGNEE(S): Wellstat Therapeutics Corporation, USA; Bamat, Michael K.

SOURCE: PCT Int. Appl., 242 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

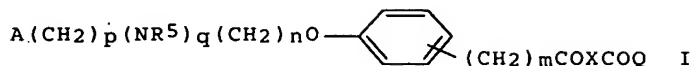
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002100341	A2	20021219	WO 2002-US18388	20020612
WO 2002100341	A3	20040701		
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RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2450221	AA	20021219	CA 2002-2450221	20020612
US 2003149107	A1	20030807	US 2002-167839	20020612
US 7101910	B2	20060905		
EP 1461323	A2	20040929	EP 2002-744271	20020612
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY, TR			
JP 2005501012	T2	20050113	JP 2003-503168	20020612
CN 1608055	A	20050420	CN 2002-811881	20020612
BR 2002010383	A	20060404	BR 2002-10383	20020612
US 2004077896	A1	20040422	US 2003-684644	20031014
US 6924314	B2	20050802		
US 2004092518	A1	20040513	US 2003-684735	20031014
US 7041659	B2	20060509		
US 2004092516	A1	20040513	US 2003-685183	20031014
US 6946491	B2	20050920		
US 2004097585	A1	20040520	US 2003-684730	20031014
US 6916848	B2	20050712		
US 2004236100	A1	20041125	US 2003-684660	20031014
US 6858602	B2	20050222		
US 2004267025	A1	20041230	US 2003-684740	20031014
US 7045541	B2	20060516		
ZA 2003009627	A	20050617	ZA 2003-9627	20031211
US 2004242692	A1	20041202	US 2004-865088	20040610
US 2005004115	A1	20050106	US 2004-892950	20040716

US 7012071	B2	20060314		
US 2005090555	A1	20050428	US 2004-5449	20041206
US 2005256333	A1	20051117	US 2005-481042	20050114
PRIORITY APPLN. INFO.:			US 2001-297282P	P 20010612
			US 2002-167839	A3 20020612
			WO 2002-US18388	W 20020612
			US 2003-685183	A3 20031014
			US 2004-865088	A1 20040610

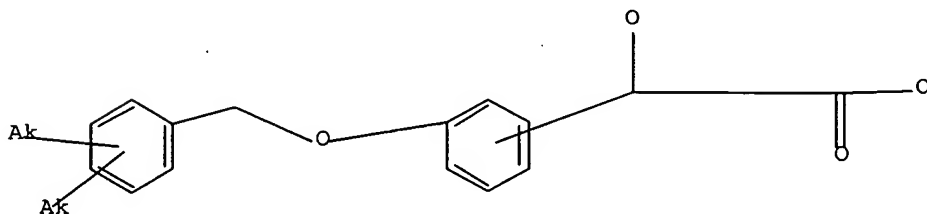
OTHER SOURCE(S):            MARPAT 138:24543  
GI



AB Biol. active title compds. [I; n = 1, 2; m, q, p = 0, 1; R<sup>5</sup> = alkyl; R<sup>9</sup> = H, halo, alkoxy; A = (halo-, alkyl-, perfluoromethyl-, alkoxy-, perfluoromethoxy-substituted) Ph, (Me-, Et-substituted) cycloalkyl, 5-6 membered heteroarom. ring having 1-2 N, S, O atoms; X = CH<sub>2</sub>, Q = OR<sub>1</sub>, R<sub>1</sub> = Et; or X = CH<sub>2</sub>CR<sub>12</sub>R<sub>13</sub>, CH<sub>2</sub>CH(NHAc), Q = OR<sub>1</sub>, R<sub>1</sub> = H, alkyl; or X = CH<sub>2</sub>CH<sub>2</sub>, Q = NR<sub>10</sub>R<sub>11</sub>; R<sub>12</sub>, R<sub>13</sub> = H, Me; 1 of R<sub>10</sub>, R<sub>11</sub> = H, alkyl, OH, the other = H, alkyl], were prepared Thus, 4-(2-fluorobenzoyloxy)acetophenone (preparation given) in THF and DMPU was treated with a solution of Li bis(trimethylsilyl)amide at -60°; after 10 min, tert-Bu bromoacetate was added followed by stirring for an addnl. 10 min and warming to room temperature for 4 h to give tert-Bu 4-[4-(2-fluorobenzoyloxy)phenyl]-4-oxobutyrate. The latter was stirred with CF<sub>3</sub>CO<sub>2</sub>H in CH<sub>2</sub>Cl<sub>2</sub> to give 4-[4-(2-fluorobenzoyloxy)phenyl]-4-oxobutyric acid. Tested I showed antidiabetic activity in a variety of tests. I are useful in treatment of various metabolic disorders such as insulin resistance syndrome, diabetes, hyperlipidemia, fatty liver disease, cachexia, obesity, atherosclerosis and arteriosclerosis.

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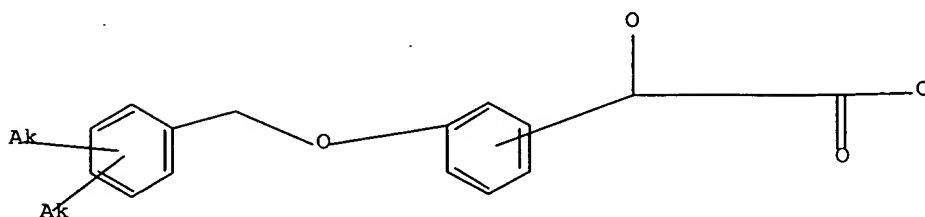
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L50 3 SEA FILE=HCAPLUS ABB=ON PLU=ON L49

=> d que 155

L2 4 SEA FILE=REGISTRY ABB=ON PLU=ON (478162-78-6/BI OR 781651-20-5/BI OR 781651-21-6/BI OR 9004-10-8/BI)  
L5 STR



Structure attributes must be viewed using STN Express query preparation.

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L20 1 SEA FILE=HCAPLUS ABB=ON PLU=ON L17  
L49 1 SEA FILE=REGISTRY ABB=ON PLU=ON L19 AND C19H20O4/MF  
L50 3 SEA FILE=HCAPLUS ABB=ON PLU=ON L49  
L52 2 SEA FILE=REGISTRY ABB=ON PLU=ON L2 AND L17  
L53 3 SEA FILE=REGISTRY ABB=ON PLU=ON (L52 OR L49)  
L54 3 SEA FILE=HCAPLUS ABB=ON PLU=ON L53  
L55 3 SEA FILE=HCAPLUS ABB=ON PLU=ON (L54 OR L50 OR L20)

=> d ibib abs hitstr 155 tot

L55 ANSWER 1 OF 3 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:995903 HCAPLUS Full-text

DOCUMENT NUMBER: 141:410698

TITLE: Preparation of  $\alpha$ -oxoacid-substituted phenols for the treatment of metabolic disorders

INVENTOR(S): Hodge, Kirvin L.; Sharma, Shalini; Von Borstel, Reid W.

PATENT ASSIGNEE(S): Wellstat Therapeutics Corporation, USA; Von Borstel, Reid W.

SOURCE: PCT Int. Appl., 52 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004098496	A2	20041118	WO 2004-US12141	20040420
WO 2004098496	A3	20050331		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,

TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW  
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 ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI,  
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 TD, TG

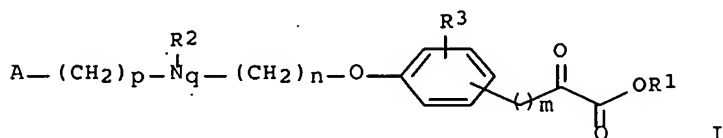
AU 2004237602 A1 20041118 AU 2004-237602 20040420  
 CA 2522738 AA 20041118 CA 2004-2522738 20040420  
 EP 1617835 A2 20060125 EP 2004-750363 20040420

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 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR

CN 1780614 A 20060531 CN 2004-80011552 20040420

PRIORITY APPLN. INFO.: US 2003-466663P P 20030430  
 WO 2004-US12141 W 20040420

OTHER SOURCE(S): CASREACT 141:410698; MARPAT 141:410698  
 GI



AB Title compds. I [n = 1-2; m = 0-4; q, p = 0-1; R2 = alkyl; R3 = H, halo; A = (un)substituted Ph, cycloalkyl, etc.; R1 = H, alkyl] are prepared For instance, 2-oxo-2-[3-(2,6-dimethylbenzyloxy)phenyl]acetic acid (II) is prepared by SeO2 oxidation of the corresponding ethanone precursor (prior art). II showed a statistically significant decrease in blood glucose and triglycerides in obese mice compared to control at 60 mg/Kg. I are useful for the treatment of various metabolic disorders, such as insulin resistance syndrome, diabetes, hyperlipidemia, fatty liver disease, cachexia, obesity, atherosclerosis and arteriosclerosis.

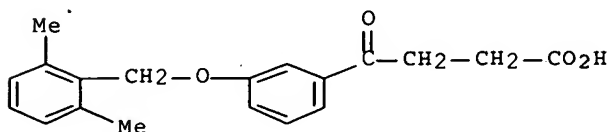
IT 478162-78-6, 4-(3-(2,6-Dimethylbenzyloxy)phenyl)-4-oxobutanoic acid

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(preparation of  $\alpha$ -oxoacid-substituted phenols for treatment of metabolic disorders)

RN 478162-78-6 HCAPLUS

CN Benzenebutanoic acid, 3-[(2,6-dimethylphenyl)methoxy]- $\gamma$ -oxo- (9CI)  
 (CA INDEX NAME)



ACCESSION NUMBER: 2004:902090 HCAPLUS Full-text  
 DOCUMENT NUMBER: 141:384282  
 TITLE: Compounds for the treatment of metabolic disorders  
 INVENTOR(S): Hodge, Kirvin L.; Sharma, Shalini; Von Borstel, Reid W.; Wolpe, Stephen D.  
 PATENT ASSIGNEE(S): Wellstat Therapeutics Corporation, USA  
 SOURCE: PCT Int. Appl., 47 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004091486	A2	20041028	WO 2004-US10799	20040408
WO 2004091486	A3	20050120		
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AU 2004229418	A1	20041028	AU 2004-229418	20040408
CA 2521621	AA	20041028	CA 2004-2521621	20040408
EP 1633340	A2	20060315	EP 2004-759257	20040408
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BR 2004009469	A	20060418	BR 2004-9469	20040408
CN 1774244	A	20060517	CN 2004-80010105	20040408
JP 2006523696	T2	20061019	JP 2006-509802	20040408
US 2006014784	A1	20060119	US 2005-531618	20050414
NO 2005004791	A	20051220	NO 2005-4791	20051018
PRIORITY APPLN. INFO.:			US 2003-462960P	P 20030415
			WO 2004-US10799	W 20040408

OTHER SOURCE(S): MARPAT 141:384282

AB Agents such as 4-(3-(2,6-dimethylbenzyloxy)phenyl)-4-hydroxybutanoic acid, useful for the treatment of various metabolic disorders, such as insulin resistance syndrome, diabetes, hyperlipidemia, fatty liver disease, cachexia, obesity, atherosclerosis and arteriosclerosis are disclosed. Thus, 4-(3-(2,6-dimethylbenzyloxy)phenyl)-4-(R)-hydroxybutanoic acid was prepared by the NaBH<sub>4</sub> reduction of 4-(3-(2,6-dimethylbenzyloxy)phenyl)-4-oxobutanoic acid. The above compound elicited a significant reduction in blood glucose.

IT 781651-21-6P

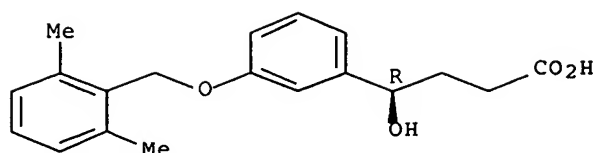
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(compds. for treatment of metabolic disorders)

RN 781651-21-6 HCAPLUS

CN Benzenebutanoic acid, 3-[(2,6-dimethylphenyl)methoxy]-γ-hydroxy-, (γR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

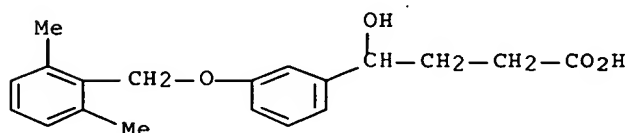


IT 781651-20-5

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(comps. for treatment of metabolic disorders)

RN 781651-20-5 HCAPLUS

CN Benzenebutanoic acid, 3-[(2,6-dimethylphenyl)methoxy]-γ-hydroxy- (9CI) (CA INDEX NAME)

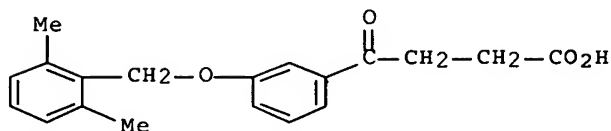


IT 478162-78-6

RL: RCT (Reactant); RACT (Reactant or reagent)  
(comps. for treatment of metabolic disorders)

RN 478162-78-6 HCAPLUS

CN Benzenebutanoic acid, 3-[(2,6-dimethylphenyl)methoxy]-γ-oxo- (9CI) (CA INDEX NAME)



L55 ANSWER 3 OF 3 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:964135 HCAPLUS Full-text

DOCUMENT NUMBER: 138:24543

TITLE: Preparation of benzyloxyphenyloxobutyrate and related compounds for the treatment of metabolic disorders

INVENTOR(S): Sharma, Shalini; Von Borstel, Reid W.; Hodge, Kirvin L.

PATENT ASSIGNEE(S): Wellstat Therapeutics Corporation, USA; Bamat, Michael K.

SOURCE: PCT Int. Appl., 242 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

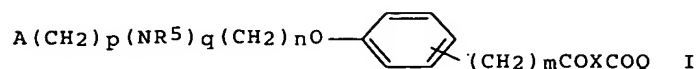
FAMILY ACC. NUM. COUNT: 1



## PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2002100341	A3	20040701		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2450221	AA	20021219	CA 2002-2450221	20020612
US 2003149107	A1	20030807	US 2002-167839	20020612
US 7101910	B2	20060905		
EP 1461323	A2	20040929	EP 2002-744271	20020612
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY, TR				
JP 2005501012	T2	20050113	JP 2003-503168	20020612
CN 1608055	A	20050420	CN 2002-811881	20020612
BR 2002010383	A	20060404	BR 2002-10383	20020612
US 2004077896	A1	20040422	US 2003-684644	20031014
US 6924314	B2	20050802		
US 2004092518	A1	20040513	US 2003-684735	20031014
US 7041659	B2	20060509		
US 2004092516	A1	20040513	US 2003-685183	20031014
US 6946491	B2	20050920		
US 2004097585	A1	20040520	US 2003-684730	20031014
US 6916848	B2	20050712		
US 2004236100	A1	20041125	US 2003-684660	20031014
US 6858602	B2	20050222		
US 2004267025	A1	20041230	US 2003-684740	20031014
US 7045541	B2	20060516		
ZA 2003009627	A	20050617	ZA 2003-9627	20031211
US 2004242692	A1	20041202	US 2004-865088	20040610
US 2005004115	A1	20050106	US 2004-892950	20040716
US 7012071	B2	20060314		
US 2005090555	A1	20050428	US 2004-5449	20041206
US 2005256333	A1	20051117	US 2005-481042	20050114
PRIORITY APPLN. INFO.:			US 2001-297282P	P 20010612
			US 2002-167839	A3 20020612
			WO 2002-US18388	W 20020612
			US 2003-685183	A3 20031014
			US 2004-865088	A1 20040610

OTHER SOURCE(S): MARPAT 138:24543  
GI



AB Biol. active title compds. [I; n = 1, 2; m, q, p = 0, 1; R5 = alkyl; R9 = H, halo, alkoxy; A = (halo-, alkyl-, perfluoromethyl-, alkoxy-, perfluoromethoxy-substituted) Ph, (Me-, Et-substituted) cycloalkyl, 5-6 membered heteroarom. ring having 1-2 N, S, O atoms; X = CH2, Q = OR1, R1 = Et; or X = CH2CR12R13, CH2CH(NHAc), Q = OR1, R1 = H, alkyl; or X = CH2CH2, Q = NR10R11; R12, R13 = H, Me; 1 of R10, R11 = H, alkyl, OH, the other = H, alkyl], were prepared Thus, 4-(2-fluorobenzyloxy)acetophenone (preparation given) in THF and DMPU was treated with a solution of Li bis(trimethylsilyl)amide at -60°; after 10 min, tert-Bu bromoacetate was added followed by stirring for an addnl. 10 min and warming to room temperature for 4 h to give tert-Bu 4-[4-(2-fluorobenzyloxy)phenyl]-4-oxobutyrate. The latter was stirred with CF3CO2H in CH2Cl2 to give 4-[4-(2-fluorobenzyloxy)phenyl]-4-oxobutyric acid. Tested I showed antidiabetic activity in a variety of tests. I are useful in treatment of various metabolic disorders such as insulin resistance syndrome, diabetes, hyperlipidemia, fatty liver disease, cachexia, obesity, atherosclerosis and arteriosclerosis.

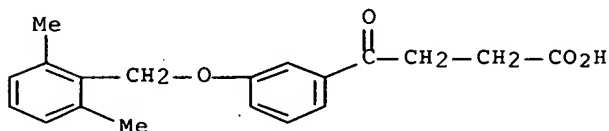
IT 478162-78-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of benzyloxyphenyloxobutyrate and related compds. for treatment of metabolic disorders)

RN 478162-78-6 HCAPLUS

CN Benzenebutanoic acid, 3-[(2,6-dimethylphenyl)methoxy]-γ-oxo- (9CI)  
(CA INDEX NAME)



=> file marpat

FILE 'MARPAT' ENTERED AT 16:53:10 ON 31 OCT 2006

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FILE CONTENT: 1961-PRESENT VOL 145 ISS 18 (20061027/ED)

SOME MARPAT RECORDS ARE DERIVED FROM INPI DATA FOR 1961-1987

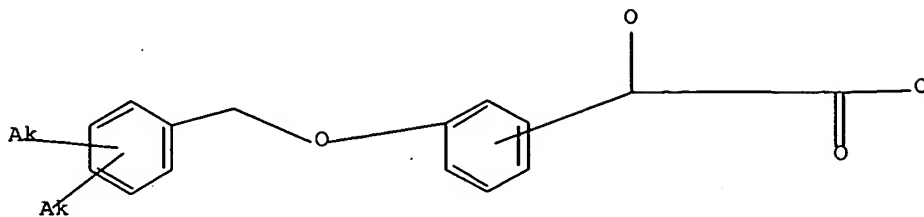
MOST RECENT CITATIONS FOR PATENTS FROM MAJOR ISSUING AGENCIES  
(COVERAGE TO THESE DATES IS NOT COMPLETE):

US	7108861	19	SEP	2006
DE	102006006123	07	SEP	2006
EP	1700848	13	SEP	2006
JP	2006242783	14	SEP	2006
WO	2006095864	14	SEP	2006
GB	2423518	30	AUG	2006
FR	2882520	01	SEP	2006
RU	2283369	10	SEP	2006

Expanded G-group definition display now available.

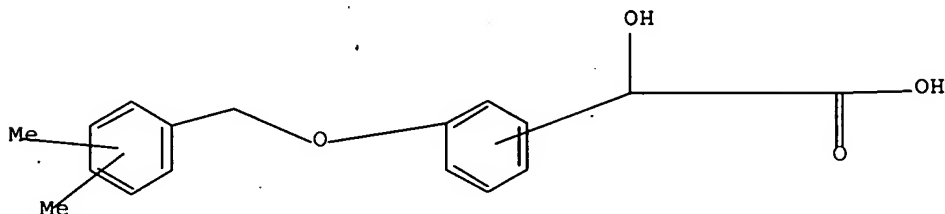
=> d que 131

L5 STR



Structure attributes must be viewed using STN Express query preparation.

L17 2 SEA FILE=REGISTRY SSS FUL L5  
L20 1 SEA FILE=HCAPLUS ABB=ON PLU=ON L17  
L23 96 SEA FILE=MARPAT SSS FUL L5  
L24 STR



Structure attributes must be viewed using STN Express query preparation.

L29 31 SEA FILE=MARPAT SUB=L23 SSS FUL L24  
L30 27 SEA FILE=MARPAT ABB=ON PLU=ON L29/COM  
L31 26 SEA FILE=MARPAT ABB=ON PLU=ON L30 NOT L20

=> d ibib abs qhit 131 tot

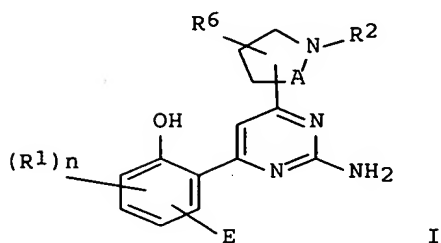
L31 ANSWER 1 OF 26 MARPAT COPYRIGHT 2006 ACS on STN  
ACCESSION NUMBER: 143:422364 MARPAT Full-text  
TITLE: Preparation of 2-aminopyridine derivatives as IKK2  
inhibitors for treatment of inflammatory and  
autoimmune diseases  
INVENTOR(S): Okamoto, Yoshinori; Kubota, Hirokazu; Sato, Ippei;  
Hattori, Kazuyuki; Kanayama, Takatoshi; Yokoyama,  
Kazuhiro; Terao, Yoshiya; Takeuchi, Masahiro  
PATENT ASSIGNEE(S): Astellas Pharma Inc., Japan  
SOURCE: PCT Int. Appl., 68 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: Japanese  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005100341	A1	20051027	WO 2005-JP7178	20050413
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.:

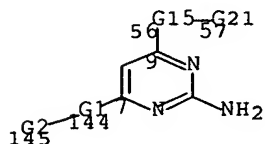
JP 2004-120833 20040415

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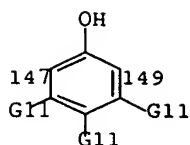


AB The title compds. I [A = (CH<sub>2</sub>)<sub>m</sub>; R<sub>1</sub> = (un)substituted alkyl, OH, halo, etc.; R<sub>2</sub> = H, alkyl, etc.; E = H, (un)substituted cycloalkyl, (un)substituted Ph, etc.; R<sub>6</sub> = H, alkyl, etc.; m = 0 - 3; n = 0 - 2] are prepared Thus, 2-(2-amino-6-piperidin-3-ylpyrimidin-4-yl)phenol 2HCl salt was prepared in a multistep process from 1-(tert-butoxycarbonyl)piperidine-3- carboxylic acid and 2-hydroxyacetophenone. 42 Compds. of this invention in vitro showed IC<sub>50</sub> values ≤ 0.5 μM against IKK2.

MSTR 1



G1 = 149-7 147-145



G2 = 18

18<sup>3</sup>—19<sup>4</sup>

G3 = 20-144 21-19

20<sup>3</sup>—21<sup>5</sup>

G4 = Ph (opt. substd. by 1 or more G34)

G5 = alkylene <containing 1-6 C>

G11 = alkyl <containing 1-6 C>  
(opt. substd. by (1-2) G14)

G14 = OH / CO<sub>2</sub>H

G34 = alkyl <containing 1-6 C>  
(opt. substd. by 1 or more G12)

Patent location: claim 1

Note: or salts

REFERENCE COUNT: 46 THERE ARE 46 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 2 OF 26 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 143:379868 MARPAT Full-text

TITLE: Novel pharmaceutical compositions

INVENTOR(S): Garg, Neeraj; Koch, Eva Kristina; Jernstedt, Henrik-Hakan; Gillner, Mikael Johan

PATENT ASSIGNEE(S): Karo Bio Ab, Swed.

SOURCE: PCT Int. Appl., 73 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

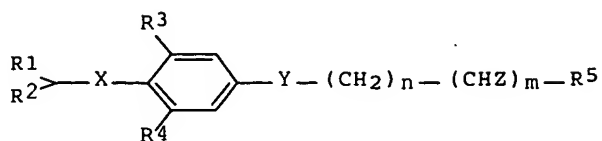
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005094810	A2	20051013	WO 2005-EP2307	20050304
WO 2005094810	A3	20060112		

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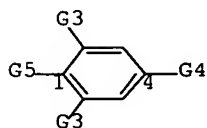
PRIORITY APPLN. INFO.:  
GI

20040305



# I

**MSTR 1**


$$G4 = 15 / 97 / 100$$
$${}^1_5\text{G}^7-\text{I}_4\text{C}(\text{O})-\text{G}^2_1 \quad {}^9_7\text{G}^{20}-\text{G}^{23}_8 \quad {}^1_{10}\text{G}^{26}-\text{G}^{23}_{11}$$

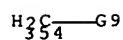
G5 = 330

390-G8

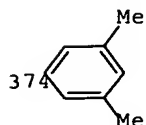
$$G7 = 163-4 \quad 164-14$$

1637-1640

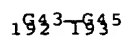
G8 = 354



G9 = 374

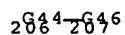


G20 = G28 / 192-4 193-98

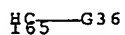


G21 = OH

G26 = 206-4 207-101



G27 = 165



G28 = (1-3) CH<sub>2</sub>

G36 = OH

G40 = G28

G45 = G28

G46 = G28

Patent location:

claim 1

Note:

or pharmaceutically acceptable esters, amides, solvates/salts

Note:

or N-oxides

Note:

also incorporates claim 12, formula II

L31 ANSWER 3 OF 26 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 143:367086 MARPAT Full-text

TITLE: Preparation of aryl amides and aryl sulfonamides as agonists of the thyroid receptor

INVENTOR(S): Garcia Collazo, Anna Maria; Ericsson, Thomas Anders  
Wilson; Garg, Neeraj; Loeffstedt, Anton Joakim;  
Hansson, Tomas Fredrik

PATENT ASSIGNEE(S): Karo Bio AB, Swed.

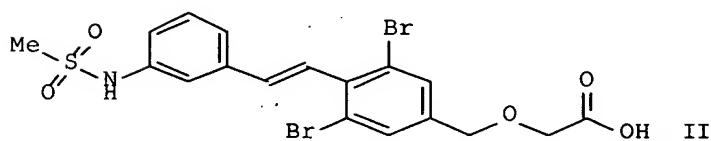
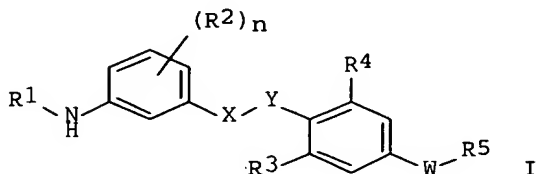
SOURCE: PCT Int. Appl., 72 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005092316	A1	20051006	WO 2005-EP3030	20050322
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2005226914	A1	20051006	AU 2005-226914	20050322
PRIORITY APPLN. INFO.:			GB 2004-6378	20040322
			WO 2005-EP3030	20050322

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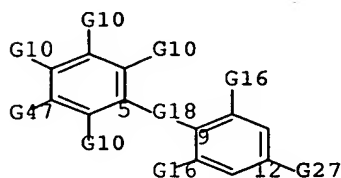


AB Title compds. I [R1 = SO<sub>2</sub>R<sub>6</sub>, SO<sub>2</sub>R<sub>6</sub> and C(O)R<sub>6</sub>; R<sub>6</sub> = alkyl, alkenyl, alkynyl, etc.; R<sub>2</sub> = halo, NO<sub>2</sub>, CN, etc.; n = 0-3; X and Y together are -C(R<sub>7</sub>)=C(R<sub>7</sub>)- or X and Y independently = O, S or CH(R<sub>8</sub>) with provisions; R<sub>7</sub> = H, halo, alkoxy, etc.; R<sub>8</sub> = H, OH, methylthio, etc.; R<sub>3</sub> and R<sub>4</sub> independently = halo, alkyl, fluoromethoxy, etc.; W = alkylene, alkenylene, alkynylene, etc.; R<sub>5</sub> = CO<sub>2</sub>R<sub>9</sub>, SO<sub>2</sub>OR<sub>9</sub>, COCO<sub>2</sub>R<sub>9</sub>, etc.; R<sub>9</sub> = H, alkyl, alkenyl, etc.] and their pharmaceutically acceptable salts, are prepared and disclosed as agonists of thyroid receptor. Thus, e.g., II was prepared by sulfonylation of {4-[(E)-2-(3-amino-phenyl)-vinyl]-3,5-dibromo-benzyloxy}-acetic acid tert-Bu ester (preparation given) with methanesulfonyl chloride and subsequent treatment with TFA. The binding activity of I towards the thyroid receptor was evaluated and it was revealed that compds. of the invention exhibited binding affinities to the thyroid receptor in the range of 1 nM to 500 nM. I as

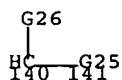


agonist of the thyroid receptor should prove useful in the treatment of diseases such as but not limited to obesity, diabetes and atherosclerosis. Pharmaceutical compns. comprising I are disclosed.

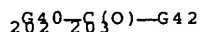
**MSTR 1**



G10 = alkyl <containing 1-4 C>  
(opt. substd. by (1-3) G11)  
G18 = 140-5 141-9



G25 = O  
G27 = 202



G29 = OH  
G40 = alkylene <containing 1 or more C>  
(opt. substd. by 1 or more G29)  
G42 = OH

Patent location: claim 1  
Note: additional oxo formation also disclosed  
Note: or pharmaceutically acceptable esters, amides, solvates or salts  
Note: also incorporates claim 14, structure II

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 4 OF 26 MARPAT COPYRIGHT 2006 ACS on STN  
ACCESSION NUMBER: 143:346906 MARPAT Full-text  
TITLE: 3,5-Dihalo-4-(3-aminobenzyloxy)phenylalkanoic acids as thyroid receptor agonists, their preparation, pharmaceutical compositions, and use  
INVENTOR(S): Garcia Collazo, Ana Maria; Ericsson, Thomas Anders Wilson; Garg, Neeraj; Loefstedt, Anton Joakim; Hansson, Tomas Fredrik; Hallberg, Lars Jesper; Brandt, Peter

PATENT ASSIGNEE(S): Karo Bio AB, Swed.  
 SOURCE: PCT Int. Appl., 96 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

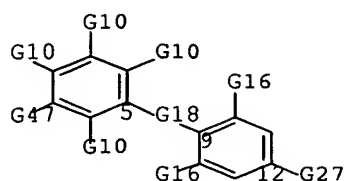
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2005092317	A1	20051006	WO 2005-EP3033	20050322
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PRIORITY APPLN. INFO.:			GB 2004-6380	20040322

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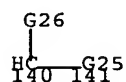
\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The invention relates to compds. I, which are agonists of thyroid receptors. In compds. I, R1 is H, (un)substituted C1-8 alkyl, (un)substituted C2-8 alkenyl, (un)substituted C2-8 alkynyl, (un)substituted C3-8 cycloalkyl, and (un)substituted C3-8cycloalkyl-C1-3alkyl; each R2 is independently selected from halo, mercapto, nitro, cyano, (un)substituted C1-4 alkoxy, (un)substituted C1-4 alkyl, etc.; n is 0-3; Y and Z together are (un)substituted vinyl, or Y and Z are independently selected from O, S, and (un)substituted C, provided that at least one of Y and Z is (un)substituted C; R3 and R4 are independently selected from halo, C1-4 alkyl, C2-4 alkenyl, C2-4 alkynyl, C1-4 alkoxy, fluoromethyl, trifluoromethyl, etc.; W is selected from (un)substituted C1-3 alkylene, (un)substituted C2-3 alkenylene, (un)substituted C2-3 alkynylene, (un)substituted N-C1-3 alkylene, (un)substituted C(O)N-C1-3 alkylene, etc.; R5 is selected from CO2R6, PO(OR6)2, PO(OR6)NH2, SO2OR6, COCO2R6, CONR6OR6, SO2NHR6, NHSO2R6, CONHSO2R6, and SO2NHCOR6; and R6 is independently selected from H, C1-4 alkyl, C2-4 alkenyl, and C2-4 alkynyl. The invention also relates to the preparation of I, pharmaceutical compns. containing I, or a pharmaceutically acceptable ester, amide solvate or salt thereof, a pharmaceutically acceptable excipient and optionally an addnl. therapeutic agent, as well as to the use of the compns. in the treatment or prophylaxis of a condition mediated by a thyroid receptor. Me 3-(4-hydroxyphenyl)propionate was brominated and alkylated with 5-chloro-3-nitrobenzyl bromide (preparation from 5-chloro-3-nitrotoluene given) resulting in the formation of propionate II. Tin-mediated reduction of nitro compound II followed by reductive alkylation with acetaldehyde and sodium cyanoborohydride and ester hydrolysis gave 3-[3,5-dibromo-4-[5-chloro-3-(ethylamino)benzyloxy]phenyl]propanoic acid (III). The compds. of the invention exhibit binding affinities to the thyroid receptor between 1 nM and 500 nM.

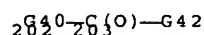
MSTR 1



G10 = alkyl <containing 1-4 C>  
(opt. substd. by (1-3) G11)  
G18 = 140-5 141-9



G25 = O  
G27 = 202



G29 = OH  
G40 = alkylene <containing 1 or more C>  
(opt. substd. by 1 or more G29)  
G42 = OH  
Patent location: claim 1  
Note: substitution is restricted  
Note: additional oxo formation also disclosed  
Note: or pharmaceutically acceptable esters, amides,  
solvates or salts  
Note: also incorporates claim 15, structure III

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 5 OF 26 MARPAT COPYRIGHT 2006 ACS on STN  
ACCESSION NUMBER: 142:82043 MARPAT Full-text  
TITLE: Liquid crystal alignment promoters, liquid crystal  
compositions, and optically anisotropic materials  
INVENTOR(S): Kawamura, Shoji; Ono, Yoshiyuki; Ujiie, Seiji  
PATENT ASSIGNEE(S): Dainippon Ink and Chemicals, Inc., Japan  
SOURCE: Jpn. Kokai Tokkyo Koho, 25 pp.  
CODEN: JKXXAF  
DOCUMENT TYPE: Patent  
LANGUAGE: Japanese  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2005002164	A2	20050106	JP 2003-165008	20030610
PRIORITY APPLN. INFO.:			JP 2003-165008	20030610

AB The promoters are represented by A(B')<sub>n</sub> [A = P'-substituted C1-30 saturated hydrocarbon residue optionally containing CH<sub>2</sub>, CH, C, O, CO, CO<sub>2</sub>, OCO, OCO<sub>2</sub>, NH, N, N+H, N+, SO<sub>2</sub>NH, SO<sub>3</sub>, SO<sub>2</sub>N, SO<sub>2</sub>N+H, SO<sub>2</sub>N+, OPO<sub>2</sub>; O, N, S, or P is not directly bonded to other O, N, S, or P; P' = OH, NH<sub>2</sub>, NHOH, N(OH)<sub>2</sub>, NHC(O)R, C(O)NHR, C(O)NH<sub>2</sub>, CO<sub>2</sub>H, CO<sub>2</sub>R, CO<sub>2</sub>C(O)R, C(O)H, SO<sub>3</sub>H, SO<sub>2</sub>R, P(OH)<sub>2</sub>:O, P(OR)<sub>2</sub>:O, N+H<sub>3</sub>, N+H<sub>2</sub>OH, N+H(OH)<sub>2</sub>, N+(OH)<sub>3</sub>, etc.; R = (ether-, ester-, or amido group-containing) C1-12 alkyl, alkenyl, aryl; B' = ≥2 cyclic structure-containing mesogen; n = 1-12; when n ≥ 2, B' may be different]. The compns. contain the promoters and liquid crystal compds. preferably having polymerizable groups, e.g., (meth)acryloyl, vinyloxy, epoxy. The materials are obtained by applying the compns. on substrates having alignment function and polymerizing the compns. in the aligned state. Liquid crystals are aligned owing to hydrophilic P' groups and liquid crystal-compatible B' groups of the promoters, and the hydrophilic groups show high adhesion to other parts.

# MSTR 1

G1—G7

G1 = alkyl <containing 1-30 C>  
(opt. substd. by 1 or more G2)  
G2 = OH / CO<sub>2</sub>H  
G7 = 40

~~4G8—4G12—4G25~~

G8 = 250-1 251-41

~~2G12—2G13~~

G9 = alkyl <containing 1-3 C>  
G12 = p-C<sub>6</sub>H<sub>4</sub> (opt. substd. by 1 or more G9)  
G13 = 456-250 457-41

~~4G14—4G15~~

G14 = 460-250 461-457

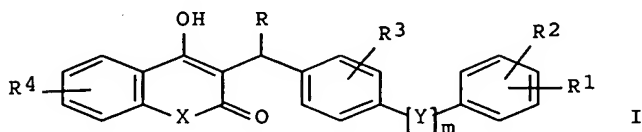
~~4G16—4G17~~

G15 = p-C6H4 (opt. substd. by 1 or more G9)  
 G17 = CH2  
 Patent location: claim 1  
 Note: additional mesogenic groups and ring substitution  
 also claimed

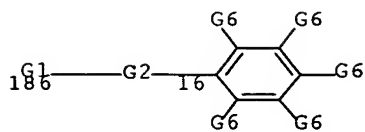
L31 ANSWER 6 OF 26 MARPAT COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 139:395812 MARPAT Full-text  
 TITLE: Preparation of novel 3-substituted-4-hydroxycoumarins  
 as rodenticides  
 INVENTOR(S): Whittle, Alan John; Swanborough, Joseph John; Parry,  
 David Rees; Sunley, Raymond Leo  
 PATENT ASSIGNEE(S): Syngenta Limited, UK  
 SOURCE: Brit. UK Pat. Appl., 38 pp.  
 CODEN: BAXXDU  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 2388595	A1	20031119	GB 2002-21678	20020918
PRIORITY APPLN. INFO.:			GB 2002-11018	20020514

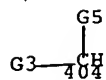
GI



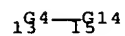
AB The title compds. [I; R = H, alkyl, cycloalkyl, cycloketonyl, cycloamino, etc.; R1-R4 = H, halo, alkyl, alkenyl, alkynyl, alkoxy, haloalkyl, hydroxyalkyl, haloalkoxy, OH, nitro, cycloalkyl, (un)substituted aryl, benzoyl, etc.; Y = O, S, (CH2)n, alkylene, etc.; X = O, S; m = 0-1; n = 0-2; with the proviso that when m = 0, X = O, and R1-R4 are all hydrogen, R is other than Me or CH2COMe, and when m = 1, X and Y are both oxygen, and R1-R4 are all hydrogen, R is other than Me], useful for killing or reducing a population of rodents, were prepared Thus, reacting 4-hydroxycoumarin with 1-(4'-bromobiphenyl-4-yl)ethanol (preparation given) afforded 3-[1-(4'-bromobiphenyl-4-yl)ethyl]-4-hydroxycoumarin. The compds. I were tested on Rattus norvegicus for their rodenticidal activity at a rate of 250 mg/kg and mortality data for 25 compds. I were given. The rodenticidal compns. comprising the compound I are described.



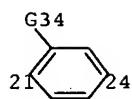
G1 = 404



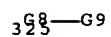
G2 = 13-186 15-16



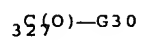
G3 = OH  
G4 = 21-186 24-15



G5 = 325



G6 = Me  
G8 = alkylene <containing 1-2 C, unbranched>  
(opt. substd. by 1 or more alkyl) / G16  
G9 = 327



G14 = G16 / 54-13 55-16 / 56-13 57-16



G16 = (1-2) CH2

G17 = O  
G30 = OH

Patent location: claim 1  
Note: substitution is restricted  
Note: also incorporates claim 4  
Stereochemistry: or stereoisomers

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 7 OF 26 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 136:279458 MARPAT Full-text

TITLE: Cyclization process for the preparation of  
UV-stabilizing benzotriazoles which have increased  
light stability from azobenzenes and azides

INVENTOR(S): Fischer, Walter; Fritzsche, Katharina; Wolf, Walter;  
Bore, Lothar

PATENT ASSIGNEE(S): Ciba Specialty Chemicals Holding Inc., Switz.

SOURCE: PCT Int. Appl., 55 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

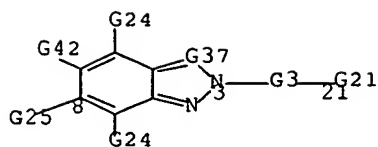
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

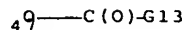
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002024668	A1	20020328	WO 2001-EP10478	20010911
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2419459	AA	20020328	CA 2001-2419459	20010911
AU 2002014976	A5	20020402	AU 2002-14976	20010911
EP 1339700	A1	20030903	EP 2001-983478	20010911
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
JP 2004509877	T2	20040402	JP 2002-529078	20010911
US 2004019220	A1	20040129	US 2003-380591	20030317
PRIORITY APPLN. INFO.:			CH 2000-1830	20000920
			WO 2001-EP10478	20010911

OTHER SOURCE(S): CASREACT 136:279458

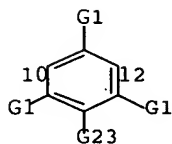
AB (un)substituted benzotriazoles (e.g., 2-phenyl-4,5-benzo-1,2,3-triazole), useful as UV stabilizers (no data), which have increased light stability, are prepared in high yield and selectivity are prepared by the reaction of (un)substituted azobenzenes (e.g., 2-nitroazobenzene) with an azide compound (e.g., sodium azide) in a solvent (e.g., DMSO) and optionally in the presence of a catalyst.



G1 = alkyl <containing 1-25 C>  
(opt. substd. by 1 or more G2) / 47



G2 = OH / CO2H  
G3 = 10-3 12-21



G13 = Ph (opt. substd. by alkyl <containing 1-12 C>)

Patent location: claim 1

Note: substitution is restricted

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 8 OF 26 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 134:366686 MARPAT Full-text

TITLE: Preparation of 4-benzyloxyphenylalkanoic acids and analogs as thyroid receptor antagonists for the treatment of cardiac and metabolic disorders

INVENTOR(S): Malm, Johan; Litten, Chris; Apelqvist, Theresa; Hedfors, Asa; Brandt, Peter; Edvinsson, Karin; Gordon, Sandra

PATENT ASSIGNEE(S): Karo Bio AB, Swed.

SOURCE: PCT Int. Appl., 75 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001036365	A2	20010525	WO 2000-EP11554	20001116
WO 2001036365	A3	20021107		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,



LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,  
SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,  
YU, ZA, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,  
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,  
BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

US 7005538 B1 20060228 US 2002-130434 20020828

US 2005267206 A1 20051201 US 2005-166821 20050624

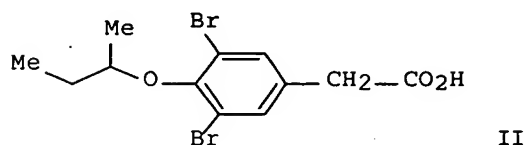
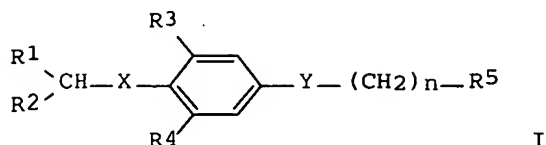
PRIORITY APPLN. INFO.:

GB 1999-27056 19991117

WO 2000-EP11554 20001116

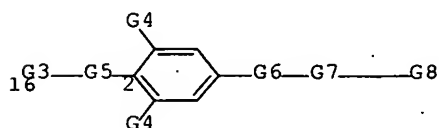
US 2002-130434 20020828

GI



AB The title compds. (I) [wherein R1 = (un)substituted (hetero)aryl, (cyclo)alkyl, alkenyl, or alkynyl; R2 = H, alkyl, alkenyl, alkynyl, alkoxy, or bioisosteric equivalent; or R1 and R2 may for an (un)substituted cycloalkyl ring; X = O, S, S(O), SO2, Se, Te, NRc, or S-S; R3 and R4 = independently halo, (cyclo)alkyl, alkenyl, alkynyl, alkoxy, CF3, OCF3, OCF2H, SMe, SCF3, CO2H, or bioisosteric equivalent; n = 0-3; Y = CO, O, S, CHRb, or NRc; Rb = H, halo, CF3, alkyl, alkenyl, alkynyl, alkoxy, (CH2)0-4OH, or bioisosteric equivalent; Rc = H, alkyl, alkenyl, alkynyl, or bioisosteric equivalent] were prepared as thyroid receptor ligands, preferably antagonists, for treatment of cardiac arrhythmias, thyrotoxicosis, and subclin. hyperthyroidism. For example, 2-Bu bromide was added to 3,5-dibromo-4-hydroxybenzeneacetic acid using TEA in acetone to give II (89%). I exhibited binding affinities to the thyroid hormone receptor  $\alpha$  (ThRa) in the range of 100 nM to 10,000 nM.

MSTR 1



G3 = 85

H<sub>2</sub>C—G15  
85

G5 = O  
G6 = 19

H<sub>9</sub>—G10

G7 = (0-3) CH2  
G8 = 33

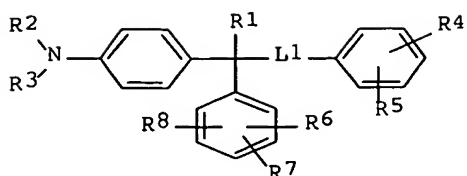
<sub>3</sub>C(O).CO<sub>2</sub>H

G10 = OH  
G15 = Ph (opt. substd. by (1-3) G16)  
G16 = Me

Patent location: claim 1  
Note: or pharmaceutically acceptable salts  
Note: and prodrug ester forms, and radioactive forms  
Note: additional cycloalkyl interruption also claimed  
Note: substitution is restricted  
Stereochemistry: and stereoisomers

L31 ANSWER 9 OF 26 MARPAT COPYRIGHT 2006 ACS on STN  
ACCESSION NUMBER: 134:56472 MARPAT Full-text  
TITLE: Preparation of triphenylmethanes as  
glucocorticoid-selective agents  
INVENTOR(S): Coghlan, Michael J.; Luly, Jay R.; Schkeryantz,  
Jeffrey M.; Wang, Alan X.  
PATENT ASSIGNEE(S): Abbott Laboratories, USA  
SOURCE: U.S., 19 pp.  
CODEN: USXXAM  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

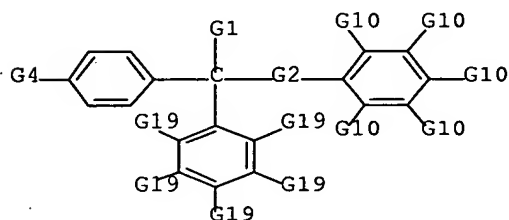
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6166013	A	20001226	US 1999-365268	19990730
PRIORITY APPLN. INFO.:			US 1998-94699P	19980730
GI				



I

AB The title compds. [I; R1 = H; L1 = a covalent bond; R2, R3 = H, alkyl; R4, R5 = H, NR12R13 (wherein R12, R13 = H, alkyl, etc.; R12 and R13 together with the nitrogen to which they are attached form a 4-8 membered heterocyclyl ring); R6-R8 = H, halo, NO2] which are selective for glucocorticoid receptors, and therefore useful in treating immune, autoimmune, inflammatory, adrenal imbalance, cognitive and behavioral diseases in a mammal, were prepared Thus, treating a solution of 2-chloro-5-nitrobenzaldehyde and N,N-dimethylaniline in CH2Cl2 with AlCl3 afforded I [R1 = H; L1 = a bond; R2, R3 = Me; R4 = 4-Me2N; R5 = H; R6 = 2-Cl; R7 = 5-NO2; R8 = H] which showed Ki of 272 nM against glucocorticoid receptor cytosol binding.

## MSTR 2



G2 = O  
 G10 = alkyl <containing 1-6 C>  
 (opt. substd. by (1-3) G12)  
 G12 = OH / CO2H  
 G19 = alkyl <containing 1-6 C>  
 (opt. substd. by (1-3) G20)

Patent location: disclosure

Note: or pharmaceutically acceptable salts or prodrugs

Note: additional ring formation also claimed

REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 10 OF 26 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 133:362765 MARPAT Full-text

TITLE: Preparation of substituted bicyclic compounds which inhibit cell adhesion of fibronectin and VCAM-1

INVENTOR(S): Clark, David Edward; Eastwood, Paul Robert; Harris, Neil Victor; McCarthy, Clive; Morley, Andrew David; Pickett, Stephen Dennis

PATENT ASSIGNEE(S): Aventis Pharma Ltd., UK

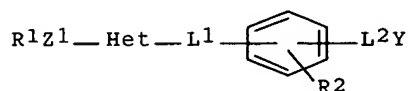
SOURCE: PCT Int. Appl., 85 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000068213	A1	20001116	WO 2000-GB1731	20000505
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2372840	AA	20001116	CA 2000-2372840	20000505
EP 1177181	A1	20020206	EP 2000-927520	20000505
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002544203	T2	20021224	JP 2000-617193	20000505
US 2002156111	A1	20021024	US 2001-2041	20011102
US 6562851	B2	20030513		
PRIORITY APPLN. INFO.:			GB 1999-10394	19990505
			US 1999-141471P	19990629
			WO 2000-GB1731	20000505

GI

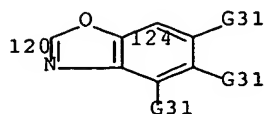


I

AB Compds. I [Het = optionally substituted, saturated, partially saturated or fully unsatd. 8 to 10 membered bicyclic ring system containing at least one heteroatom selected from O, S or N; R<sup>1</sup> = aryl, heteroaryl, alkyl, alkenyl, alkynyl, cycloalkyl, heterocycloalkyl; R<sup>2</sup> = H, halo, lower alkyl, lower alkoxy; Z<sup>1</sup> = NR<sup>5</sup>; L<sup>1</sup> is a -R<sup>6</sup>-R<sup>7</sup>- linkage (where R<sup>6</sup> is alkylene, alkenylene or alkynylene and R<sup>7</sup> is a direct bond, cycloalkylene, heterocycloalkylene, aryldiyl, heteroaryldiyl, etc.); L<sup>2</sup> = substituted alkylene chain; Y = carboxy or an acid bioisostere] were prepared I have the ability to regulate the interaction of VCAM-1 and fibronectin with the integrin VLA-4 (α<sub>4</sub>β<sub>1</sub>). E.g., a solution of 2-o- tolylaminobenzoxazol-6-ylacetic acid (preparation given) and diisopropylethylamine in DMF was treated successively with O-(7-azabenzotriazol-1-yl)-1,1,3,3-tetramethyluronium hexafluorophosphate and then with (R)-tert-Bu 3-(acetylmethylamino)-3-[(4-methylamino)phenyl]propionate (preparation given) to give 92% 3-(acetylmethylamino)-3-(4-{methyl[(2-o-tolylaminobenzoxazol-6-yl)acetyl]amino}phenyl)propionic acid.

G2-G7-G1-G12-G10-G19-G11

G1 = 120-84 124-4



G10 = phenylene (opt. substd. by (1) G37)

G11 = CO<sub>2</sub>H

G12 = 41-3 40-5

41(0)48

G19 = alkylene <containing 1-15 C> (substd. by G22)

G22 = OH

G31 = alkyl <containing 1-4 C>

Patent location: claim 1

Note: and N-oxides, prodrugs and pharmaceutically acceptable salts and solvates

Note: substitution is restricted

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 11 OF 26 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 133:177171 MARPAT Full-text

TITLE: Preparation of [[(benzoxazolylalkanoyl)aminolphenyl]alkanoates and analogs as integrin receptor ligands

INVENTOR(S): Clark, David Edward; Eastwood, Paul Robert; Harris, Neil Victor; McCarthy, Clive; Morley, Andrew David; Pickett, Stephen Dennis

PATENT ASSIGNEE(S): Aventis Pharma Limited, UK

SOURCE: PCT Int. Appl., 94 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000049005	A1	20000824	WO 2000-GB553	20000216

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW

RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,  
 DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,  
 CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

CA 2362862	AA	20000824	CA 2000-2362862	20000216
EP 1153017	A1	20011114	EP 2000-903864	20000216
EP 1153017	B1	20060503		

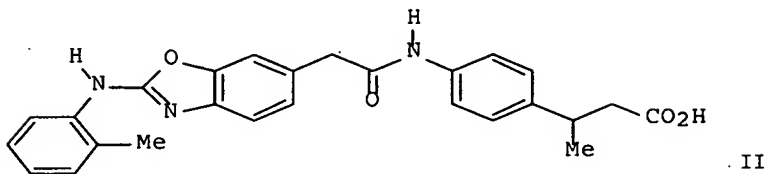
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, SI, LT, LV, FI, RO, CY

JP 2002537292	T2	20021105	JP 2000-599745	20000216
AU 775208	B2	20040722	AU 2000-25617	20000216
AT 325105	E	20060615	AT 2000-903864	20000216
PT 1153017	T	20060731	PT 2000-903864	20000216
US 2002137782	A1	20020926	US 2001-925110	20010809
US 6593354	B2	20030715		

PRIORITY APPLN. INFO.:

GB 1999-3532	19990216
US 1999-141445P	19990629
WO 2000-GB553	20000216

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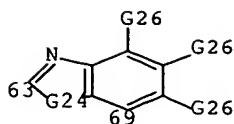


AB R1NR5Z1Z2Z3Z4R4 [I; R1 = (un)substituted (hetero)aryl; R4 = CO<sub>2</sub>H or an acid bioisostere (sic); R5 = H or alkyl; Z = (un)substituted (un)saturated bicyclic heterocyclylene; Z1 = alk(en)ylene, alkynylene; Z2 = bond, cycloalkylene, (hetero)arylene, CONR5, etc.; Z3 = (un)substituted phenylene; Z4 = (un)substituted alk(en)ylene], which regulate the interaction of VCAM-1 and fibronectin with integrin VLA-4 ( $\alpha 4\beta 1$ ), were prepared Thus, (R)-MeCHPhCH<sub>2</sub>CO<sub>2</sub>H was converted in 3 steps to (R)-4-(H<sub>2</sub>N)C<sub>6</sub>H<sub>4</sub>CHMeCH<sub>2</sub>CO<sub>2</sub>Et which was amidated by 2-(o-tolylamino)benzoxazole-6-acetic acid (preparation given) to give, after saponification, title compound (R)-II. Data for biol. activity of I were given.

MSTR 1

G2—G23—G1—G5—G3—G13—G22

G1 = 63-2 69-4



G3 = phenylene (opt. substd. by (1) G4)  
 G5 = 8-3 9-5

g7—g8

G7 = CH2  
 G8 = O  
 G13 = carbon chain <containing 1 or more C,  
 0 or more double bonds, 0 or more triple bonds>  
 (opt. substd. by 1 or more G14)

G14 = OH

G22 = CO2H

G26 = alkyl <containing 1-4 C>

Derivative: and N-oxides, prodrugs, pharmaceutically acceptable salts, and solvates

Patent location: claim 1

Note: substitution is restricted

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 12 OF 26 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 132:271780 MARPAT Full-text

TITLE: New liquid crystal compound

INVENTOR(S): Poetsch, Eike; Binder, Werner; Krause, Joachim; Hirschmann, Harald; Derow, Stephan

PATENT ASSIGNEE(S): Merck Patent GmbH, Germany

SOURCE: Ger. Offen., 28 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

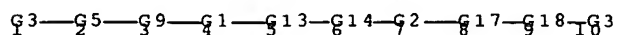
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19941567	A1	20000420	DE 1999-19941567	19990901
PRIORITY APPLN. INFO.:			DE 1998-19840654	19980905

GI

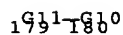


AB The invention relates to the new liquid crystal compound containing a structural element of I or its mirror image II (m = 1, 2, 3). The new liquid crystal compound can be used as a component of the liquid crystal composition and for manufacturing liquid crystal polymers. The new liquid crystal compound can be applicable to liquid crystal displays, optical elements, decoration purposes, etc.

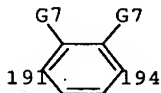
**MSTR 1A**



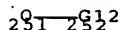
- G3 = carbon chain <containing 1 or more C>  
(opt. substd. by 1 or more G4)  
G4 = OH / CO<sub>2</sub>H  
G5 = p-C<sub>6</sub>H<sub>4</sub>  
G7 = alkyl <containing 1-7 C>  
(opt. substd. by 1 or more G8)  
G9 = 179-2 180-4



- G10 = 191-179 194-4



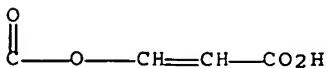
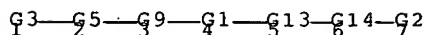
- G11 = 251-2 252-180



G12 = C(O)  
Patent location:  
Note:  
Stereochemistry:

claim 3  
additional interruptions of Ak in G3 also claimed  
all cyclohexylene and dioxanylene rings are trans

**MSTR 1B**

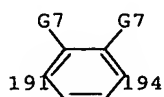




G3 = carbon chain <containing 1 or more C>  
 (opt. substd. by 1 or more G4)  
 G4 = OH / CO2H  
 G5 = p-C6H4  
 G7 = alkyl <containing 1-7 C>  
 (opt. substd. by 1 or more G8)  
 G9 = 179-2 180-4

~~191-180~~

G10 = 191-179 194-4



G11 = 251-2 252-180

~~281-252~~

G12 = C(O)  
 Patent location:  
 Note:

claim 3  
 additional interruptions of Ak in G2 and G3 also  
 claimed  
 also incorporates structures Ia1, Ia, Ib, Ic1, Ic,  
 and Id from claim 9  
 all cyclohexylene and dioxanylene rings are trans

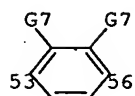
Note:

Stereochemistry:

MSTR 1C

~~G3-G5-G9-G2~~

G2 = carbon chain <containing 1 or more C>  
 (opt. substd. by 1 or more G4)  
 G3 = carbon chain <containing 1 or more C>  
 (opt. substd. by 1 or more G4)  
 G4 = OH / CO2H  
 G5 = 53-1 56-3



G7 = alkyl <containing 1-7 C>  
(opt. substd. by 1 or more G8)  
G9 = 179-2 180-4

199<sup>1</sup>180<sup>0</sup>

G10 = p-C6H4  
G11 = 249-2 250-180

249<sup>2</sup>280

G12 = C(O)  
Patent location: claim 3  
Note: additional interruptions of Ak in G2 and G3 also  
claimed  
Note: also incorporates structures Ie, Ie1, Ie2, Ie3,  
Iaa, and Icc from claim 9  
Stereochemistry: all cyclohexylene and dioxanylene rings are trans

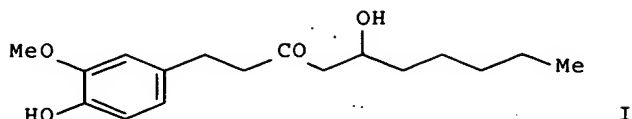
L31 ANSWER 13 OF 26 MARPAT COPYRIGHT 2006 ACS on STN  
ACCESSION NUMBER: 130:325046 MARPAT Full-text  
TITLE: Preparation and pharmaceutical uses of phenylalkanols  
INVENTOR(S): Roufogalis, Basil Don; Duke, Colin Charles; Tran, Van  
Hoan  
PATENT ASSIGNEE(S): The University of Sydney, Australia  
SOURCE: PCT Int. Appl., 86 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9920589	A1	19990429	WO 1998-AU870	19981020
W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW			
RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
CA 2307028	AA	19990429	CA 1998-2307028	19981020
AU 9897291	A1	19990510	AU 1998-97291	19981020
AU 758911	B2	20030403		
EP 1056700	A1	20001206	EP 1998-967135	19981020
EP 1056700	B1	20060920		
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY			

NZ 503976 A 20050225  
US 6518315 B1 20030211  
PRIORITY APPLN. INFO.:

NZ 1998-503976 19981020  
US 2000-509829 20000623  
AU 1997-9900 19971021  
WO 1998-AU870 19981020

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AB Gingerol analogs R1R2C4H3WXCH(R4)YR3 [R1 = H, OH, NO2, alkoxy; R2 = OH, benzoyloxy, alkoxy, acyloxy; R3 = hydrocarbon radical; R4 = H, Me, OH, oxo; W = COCH2, CH=CH, CH2CO, CH(OH)CH2, C(CH3)(OH)CH2, CH2CH(OH), CH2C(CH3)OH, CO, CHOH, C(CH3)(OH), CH2, CH2CH2; X = CH(OH), C(CH3)(OH), CH2, CH(CH3) or CO; Y = CH(OH), C(CH3)(OH), CH2, CH(CH3) or CO] were prepared and formulated for use as platelet aggregation inhibitors and other pharmaceutical uses. Thus, (+)-[6]-gingerol (I) was prepared starting from vanillin and acetone. The prepared phenylalkanols were tested for a variety of biol. activities, such as Ca2+-ATPase activity, neurokinin-1 binding, lipoxxygenase activity, and cyclooxygenase-2 activity.

MSTR 1

G1—G6—G9

G1 = Ph (substd. by (1-2) G2)  
G2 = (up to 1) G4  
G4 = OCOPh (opt. substd. by 1 or more G5)  
G5 = alkyl <containing 1-3 C>  
G6 = 4

G8=O

G7 = OH  
G8 = carbon chain <containing 4 or more C,  
up to 1 double-exact bond, no triple bonds>  
(opt. substd. by 1 or more G7)

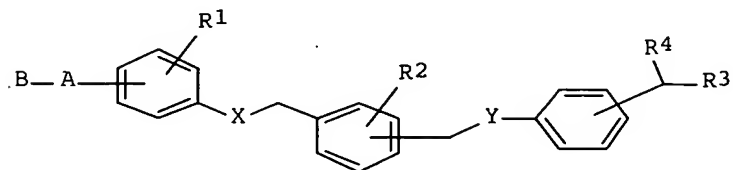
Derivative: or pharmaceutically acceptable derivatives  
Patent location: claim 1  
Note: substitution is restricted

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

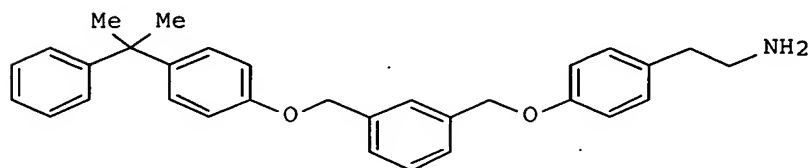
ACCESSION NUMBER: 129:343328 MARPAT Full-text  
 TITLE: Preparation of new benzyl- and (phenylethyl)amine derivatives as medicaments  
 INVENTOR(S): Anderskewitz, Ralf; Schromm, Kurt; Renth, Ernst-Otto; Birke, Franz; Jennewein, Hans Michael; Meade, Christopher John Montague  
 PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma K.-G., Germany  
 SOURCE: PCT Int. Appl., 29 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9849131	A1	19981105	WO 1998-EP2530	19980429
W: AU, BG, BR, BY, CA, CN, CZ, EE, HU, ID, IL, JP, KR, KZ, LT, LV, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TR, UA, US, UZ, VN, YU				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CN 1204315	A	19990106	CN 1996-198959	19961211
DE 19718334	A1	19981105	DE 1997-19718334	19970430
ZA 9803523	A	19981030	ZA 1998-3523	19980428
CA 2287991	AA	19981105	CA 1998-2287991	19980429
AU 9877600	A1	19981124	AU 1998-77600	19980429
EP 980351	A1	20000223	EP 1998-925500	19980429
EP 980351	B1	20040218		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2001524966	T2	20011204	JP 1998-546609	19980429
AT 259777	E	20040315	AT 1998-925500	19980429
PT 980351	T	20040730	PT 1998-925500	19980429
ES 2214711	T3	20040916	ES 1998-925500	19980429
MX 9909960	A	20000630	MX 1999-9960	19991028
US 6288277	B1	20010911	US 2000-423160	20000403
PRIORITY APPLN. INFO.:			DE 1997-19718334	19970430
			WO 1998-EP2530	19980429

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I



II

AB The title compds. [I; X, Y = O, NH, NMe<sub>2</sub>, CH<sub>2</sub>; R<sub>1</sub>, R<sub>2</sub> = H, OH, F, Cl, Br, iodo, C<sub>1</sub>-6 alkyl, O(C<sub>1</sub>-6 alkyl), CF<sub>3</sub>; R<sub>3</sub> = H, NH<sub>2</sub>, NHCOR<sub>5</sub>; R<sub>4</sub> = H, CH<sub>2</sub>NH<sub>2</sub>, CH<sub>2</sub>NHCOR<sub>5</sub>; R<sub>5</sub> = H, C<sub>1</sub>-6 alkyl, (un)substituted Ph, O(C<sub>1</sub>-6 alkyl); A = CR<sub>6</sub>R<sub>7</sub>, CO, SO<sub>x</sub>, O; R<sub>6</sub> = H, C<sub>1</sub>-4 alkyl, CF<sub>3</sub>, etc.; R<sub>7</sub> = H, C<sub>1</sub>-4 alkyl, etc.; B = C<sub>1</sub>-6 alkyl, Ph, naphthyl, thienyl, pyridyl, etc.; x = 0-2; with provisos] and their optical isomers, mixts. of enantiomers, racemates and salts with pharmaceutically acceptable acids, LTB<sub>4</sub> antagonists useful for the therapy of arthritis, asthma, chronic lung diseases, , psoriasis, cystic fibrosis, Alzheimer's disease, etc., were prepared For example, dissolving 1.15 g 4-(H<sub>2</sub>NCH<sub>2</sub>CH<sub>2</sub>)C<sub>6</sub>H<sub>4</sub>OH in 15 mL MeOH, adding 1.5 g NaOMe (30% solution in MeOH), evaporating the mixture, adding the residue to a solution of 2.93 g 3-[4-(2-phenylpropyl)phenoxyethyl]benzyl chloride in 25 mL MeCN, stirring the whole for 3 h at 60-70°, evaporating the solvents and treating the residue with alc. HCl gave 1 g II-HCl (m. 145°). Approx. 34 I were prepared and K<sub>i</sub> values for approx. 32 I varying between 0.5 and 263 nM were given.

#### MSTR 1

G10—G2—G1—CH<sub>2</sub>—G4—CH<sub>2</sub>—G1—G5—G31

G1 = O  
 G2 = phenylene (opt. substd. by (up to 1) G3)  
 G3 = alkyl <containing 1-6 C>  
       (opt. substd. by 1 or more G30)  
 G4 = phenylene (opt. substd. by (up to 1) G3)  
 G10 = 22

<sub>2</sub>G11—G12

G11 = alkylene <containing 1 or more C>  
       (opt. substd. by 1 or more G24)  
 G24 = CO<sub>2</sub>H / OH  
 Derivative: and acid addition salts  
 Patent location: claim 1  
 Note: substitution is restricted  
 Note: also incorporates claim 4, structure IV  
 Stereochemistry: and optical isomers, enantiomeric mixtures, or racemates

#### MSTR 2

G10—G2—G1—CH<sub>2</sub>—G4—CH<sub>2</sub>—G5

G1 = O  
 G2 = phenylene (opt. substd. by (up to 1) G3)  
 G3 = alkyl <containing 1-6 C>

(opt. substd. by 1 or more G30)  
G4 = phenylene (opt. substd. by (up to 1) G3)  
G10 = 22

G11-G12

G11 = alkylene <containing 1 or more C>  
(opt. substd. by 1 or more G24)

G24 = CO<sub>2</sub>H / OH

Patent location: claim 3

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 15 OF 26 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 127:307385 MARPAT Full-text

TITLE: Fused imidazole derivatives as multidrug resistance  
modulators

INVENTOR(S): Janssens, Frans Eduard; Leenaerts, Joseph Elisabeth;  
Sommen, Francois Maria; Surleraux, Dominique Louis  
Nestor Ghislaine

PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.; Janssens, Frans  
Eduard; Leenaerts, Joseph Elisabeth; Sommen, Francois  
Maria; Surleraux, Dominique Louis Nestor Ghislaine

SOURCE: PCT Int. Appl., 52 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

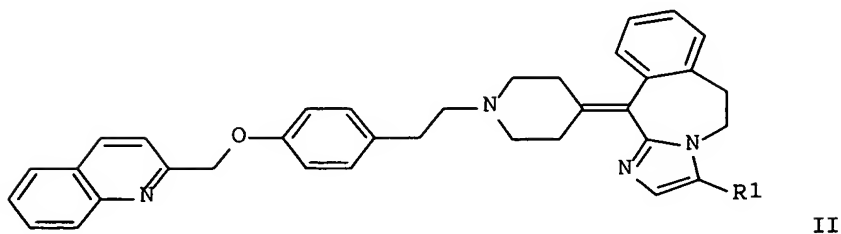
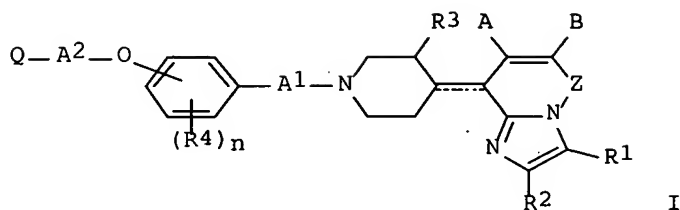
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9734897	A1	19970925	WO 1997-EP1264	19970311
W:	AL, AM, AU, BB, BG, BR, CA, CN, CU, CZ, EE, GE, HU, IL, IS, JP, KG, KR, LC, LK, LR, LT, LV, MD, MG, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, AZ, BY, KZ, RU, TJ, TM			
RW:	GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
TW 527186	B	20030411	TW 1997-86102623	19970305
CA 2237594	AA	19970925	CA 1997-2237594	19970311
CA 2237594	C	20060530		
AU 9720269	A1	19971010	AU 1997-20269	19970311
AU 709683	B2	19990902		
EP 888352	A1	19990107	EP 1997-908226	19970311
EP 888352	B1	20030528		
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO			
CN 1211985	A	19990324	CN 1997-192399	19970311
CN 1083453	B	20020424		
BR 9708140	A	19990727	BR 1997-8140	19970311
JP 2000505477	T2	20000509	JP 1997-533121	19970311
JP 3630434	B2	20050316		
JP 2002012594	A2	20020115	JP 2001-167003	19970311
IL 124572	A1	20020310	IL 1997-124572	19970311
EE 3773	B1	20020617	EE 1998-281	19970311

AT 241626	E	20030615	AT 1997-908226	19970311
IL 143998	A1	20030624	IL 1997-143998	19970311
ES 2200159	T3	20040301	ES 1997-908226	19970311
CZ 294060	B6	20040915	CZ 1998-1529	19970311
SK 284434	B6	20050401	SK 1998-662	19970311
ZA 9702351	A	19980918	ZA 1997-2351	19970318
HR 970161	B1	20020630	HR 1997-970161	19970319
NO 9802124	A	19980918	NO 1998-2124	19980511
NO 310659	B1	20010806		
US 6218381	B1	20010417	US 1998-142932	19980917
HK 1015769	A1	20030926	HK 1999-100695	19990220
US 6476018	B1	20021105	US 2001-775524	20010202
IL 143997	A1	20030212	IL 2001-143997	20010626
US 2003087895	A1	20030508	US 2002-187665	20020702
JP 2004067701	A2	20040304	JP 2003-349802	20031008

PRIORITY APPLN. INFO.:

EP 1996-200755	19960319
IL 1997-124572	19970311
JP 1997-533121	19970311
WO 1997-EP1264	19970311
US 1998-142932	19980917
US 2001-775524	20010202

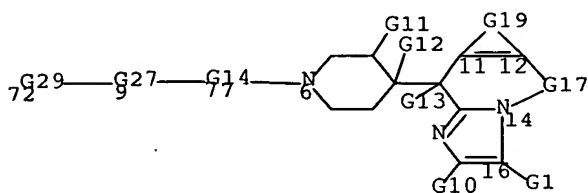
GI



AB The invention concerns compds. I and their N-oxide forms, pharmaceutically acceptable addition salts, and stereochem. isomeric forms [wherein the dotted line = optional pi bond; n = 1 or 2; R1 = H, halo, CHO, alkyl (optionally substituted with OH, alkoxy, alkylcarbonyloxy, imidazolyl, thiazolyl or oxazolyl), XCO2R5, XCONR6R7, or XCOR10; X = bond, alkanediyl, or alkenediyl; R5 = H, alkyl, Ar, Het, and alkyl substituted with alkoxy, Ar, or Het; R6, R7 = H or alkyl; R10 = imidazolyl, thiazolyl, or oxazolyl; R2 = H, halo, alkyl, hydroxyalkyl, alkoxycarbonyl, CO2H, CHO, or Ph; R3 = H, alkyl, or alkoxy; R4 = H, halo, alkyl, alkoxy, or haloalkyl; Z = CH2, CH2CH2, CH:CH, CH(OH)CH2, OCH2, COCH2, or C(:NOH)CH2; AB = bivalent radical; A1 = bond, (un)substituted alkanediyl, alkanediyloxyalkanediyl, CO, alkanediylcarbonyl, (un)substituted alkanediyloxy; A2 = bond or alkanediyl; Q = (un)substituted Ph, naphthalenyl, pyridinyl, or quinolinyl; Ar = (un)substituted Ph; Het = (un)substituted

furanyl, oxazolyl, or quinolinyl]. Also disclosed are processes for preparing I, formulations comprising them, and their use as medicines, particularly for inhibiting or reversing the effects of multidrug resistance (MDR). I are useful for combating MDR phenomena in both cancers and pathogens. Approx. 100 compds. I were prepared For instance, N-alkylation of 3-chloro-6,11-dihydro-11-(4-piperidinylidene)-5H-imidazo[2,1-b][3]benzazepine with 4-(2-quinolinylmethoxy)benzeneethanol mesylate ester (prepns. given) in refluxing EtOH in the presence of NaHCO<sub>3</sub> gave 73% title compound II [R<sub>1</sub> = Cl]. In a test against the adriamycin-resistant murine leukemia cell line P388/ADR in mice, adriamycin at 1.25 mg/kg plus II [R<sub>1</sub> = CO<sub>2</sub>Me] at 0.63-20 mg/kg gave a 14-23% increase in mean survival time over adriamycin alone.

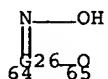
# MSTR 1



G14 = 8-9 7-6

G32-G23

G23 = 64-8 65-6



G26 = carbon chain <containing 1-6 C, saturated>  
(opt. substd. by OH)

G27 = 73-72 74-77

G28-G29

G28 = alkylene <containing 1-6 C>

G29 = Ph (opt. substd. by (1-2) G30)

G30 = alkyl <containing 1-4 C>  
(opt. substd. by 1 or more G16)

G32 = phenylene (opt. substd. by (1-2) G15)

Derivative: and N-oxide forms and pharmaceutically acceptable salts

Patent location: claim 1

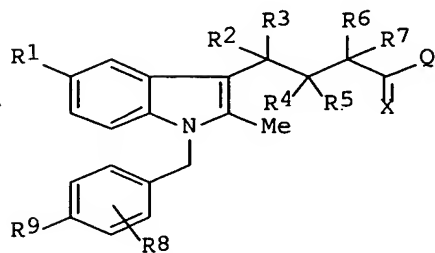


Note: substitution is restricted  
Stereochemistry: or stereochemically isomeric forms

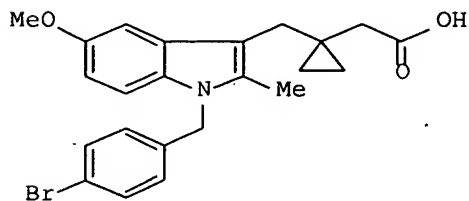
L31 ANSWER 16 OF 26 MARPAT COPYRIGHT 2006 ACS on STN  
ACCESSION NUMBER: 126:89261 MARPAT Full-text  
TITLE: N-Benzylindol-3-ylbutanoic acid derivatives as  
cyclooxygenase-2 inhibitors  
INVENTOR(S): Lau, Cheuk K.; Black, Cameron; Guay, Daniel; Gauthier,  
Jacques-Yves; Leblanc, Yves; Roy, Patrick; Ducharme,  
Yves; Hamel, Pierre  
PATENT ASSIGNEE(S): Merck Frosst Canada Inc., Can.  
SOURCE: PCT Int. Appl., 145 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9637467	A1	19961128	WO 1996-CA324	19960521
W: AL, AM, AU, AZ, BB, BG, BR, BY, CA, CN, CZ, EE, GE, HU, IS, JP, KG, KR, KZ, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, US, UZ, VN, AM, AZ, BY, KG, KZ, MD				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5639780	A	19970617	US 1995-445838	19950522
CA 2219155	AA	19961128	CA 1996-2219155	19960521
AU 9656830	A1	19961211	AU 1996-56830	19960521
PRIORITY APPLN. INFO.:			US 1995-445838	19950522
			WO 1996-CA324	19960521

GI



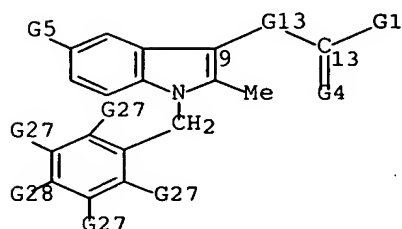
I



II

AB The invention encompasses novel compds. I, useful in the treatment of cyclooxygenase-2 (COX-2) mediated diseases [wherein Q = OR, (un)substituted NH<sub>2</sub>; X = O, S; R = H, (halo)alkyl; R<sub>1</sub> = OMe, OEt, CF<sub>3</sub>, halo, Me, Et, OCF<sub>3</sub>, OCH<sub>2</sub>F, OCHF<sub>2</sub>; R<sub>2</sub>-R<sub>7</sub> = H, F, Cl, (halo)alkyl, cycloalkyl, CF<sub>3</sub>, OH or SH or derivs., (un)substituted Ph or CH<sub>2</sub>Ph; or R<sub>2</sub>R<sub>3</sub>, R<sub>4</sub>R<sub>5</sub>, R<sub>6</sub>R<sub>7</sub> = oxo; or R<sub>2</sub>R<sub>3</sub>, R<sub>4</sub>R<sub>5</sub>, R<sub>6</sub>R<sub>7</sub> form saturated monocycle with optional O atom; or R<sub>3</sub>R<sub>4</sub>, R<sub>3</sub>R<sub>6</sub>, R<sub>4</sub>R<sub>6</sub> form saturated or aromatic monocycle; R<sub>8</sub> = H, F, Cl, Br; R<sub>9</sub> = Br, Cl, iodo, SMe, S(O)Me, SEt, SCF<sub>2</sub>H, SCF<sub>3</sub>]. The invention also encompasses pharmaceutical compns. comprising I for treatment of COX-2-mediated diseases, especially inflammatory diseases. For example, the cyclopropyl derivative OCHCR<sub>6</sub>R<sub>7</sub>CH<sub>2</sub>CN [R<sub>6</sub>R<sub>7</sub> = CH<sub>2</sub>CH<sub>2</sub>] underwent a sequence of: (1) Wittig reaction with Ph<sub>3</sub>P:CHCOCH<sub>3</sub>, (2) hydrogenation of the formed double bond; (3) cyclization of the ketone function with N-(4-bromobenzyl)-N-(4-methoxyphenyl)hydrazine HCl to give an indole; (4) methanolysis of the nitrile; and (5) hydrolysis of the ester, to give title compound II, isolated as the Na salt (III). III was more potent than indomethacin and MK-555 in the rat paw edema test (p.o.). III was also much more selective for COX-2 vs. COX-1 than either standard drug, with an IC<sub>50</sub> of >100,000 nM for COX-1 and only 16 nM for COX-2.

# MSTR 1



G1 = OH  
G4 = O  
G9 = Ph (opt. substd. by (1-2) G29)  
G13 = alkylene (opt. substd. by 1 or more G14)  
G14 = OH / 49 / Ph (opt. substd. by (1-2) G18)

<sub>4</sub>G<sup>15</sup>-G<sup>16</sup>

G<sup>15</sup> = O  
G<sup>16</sup> = 51

H<sub>2</sub>C-G<sup>9</sup>  
<sub>51</sub>

G<sup>18</sup> = 55

<sub>5</sub>G<sup>15</sup>-G<sup>16</sup>

G29 = Me

Patent location: claim 1

L31 ANSWER 17 OF 26 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 125:86331 MARPAT Full-text

TITLE: Preparation of iodinated 1,3-diphenylureas as X-ray contrast media

INVENTOR(S): Rydbeck, Anna; Almen, Torsten; Thaning, Mikkell; Andersson, Sven; Wistrand, Lars-Goeran; Golman, Klaes

PATENT ASSIGNEE(S): Nycomed Imaging As, Norway

SOURCE: PCT Int. Appl., 59 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9609285	A1	19960328	WO 1995-GB2264	19950922
W:	AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM			
RW:	KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
US 5958375	A	19990928	US 1995-470043	19950606
CA 2200752	AA	19960328	CA 1995-2200752	19950922
AU 9535293	A1	19960409	AU 1995-35293	19950922
AU 710934	B2	19990930		
EP 782565	A1	19970709	EP 1995-932108	19950922
EP 782565	B1	19990407		
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE			
CN 1164225	A	19971105	CN 1995-196327	19950922
HU 77170	A2	19980302	HU 1997-1947	19950922
JP 10505856	T2	19980609	JP 1996-510705	19950922
JP 3606583	B2	20050105		
AT 178592	E	19990415	AT 1995-932108	19950922
ES 2130650	T3	19990701	ES 1995-932108	19950922
NO 9701318	A	19970811	NO 1997-1318	19970320
FI 9701200	A	19970519	FI 1997-1200	19970321
US 5958376	A	19990928	US 1997-793927	19970627
PRIORITY APPLN. INFO.:			GB 1994-19206	19940923
			WO 1995-GB2264	19950922

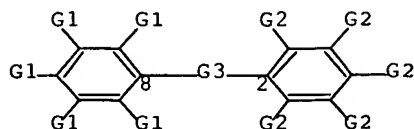
GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The title compds. [I; X = NHCONH, NHCO, O, CO; R = H, I, etc.], useful as low viscosity X-ray contrast media especially in angiog., were prepared  
Acetylation of isophthalamide II with Ac2O followed by reaction of the

intermediate III with COCl<sub>2</sub> and hydrolysis of urea IV with NaOH/MeOH/H<sub>2</sub>O afforded I [X = NHCONH; R = 2,4,6-I<sub>3</sub>, 3,5-(HOCH<sub>2</sub>CH(OH)CH<sub>2</sub>NHCO)2].

# MSTR 1



G1 = (1-3) G4  
G2 = (1-3) G4  
G3 = 24-8 25-2

<sup>24</sup>G<sup>(0)</sup>-<sup>25</sup>G

G4 = 196

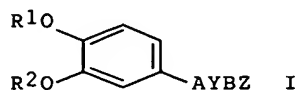
<sup>196</sup>G<sup>12</sup>-G<sup>21</sup>-G<sup>20</sup>

G12 = alkylene <containing 1-8 C> (opt. substd. by G18)  
G18 = OH / CO<sub>2</sub>H (opt. substd.)  
Patent location: claim 1  
Stereochemistry: and isomers

L31 ANSWER 18 OF 26 MARPAT COPYRIGHT 2006 ACS on STN  
ACCESSION NUMBER: 125:58532 MARPAT Full-text  
TITLE: Preparation of catechol diethers as inhibitors of tumor necrosis factor release.  
INVENTOR(S): Cohan, Victoria L.; Duplantier, Allen J.  
PATENT ASSIGNEE(S): Pfizer Inc., USA  
SOURCE: Eur. Pat. Appl., 35 pp.  
CODEN: EPXXDW  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

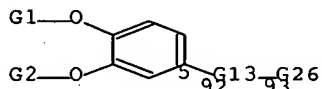
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 706795	A2	19960417	EP 1995-306159	19950904
EP 706795	A3	19971217		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
TW 492862	B	20020701	TW 1995-84108419	19950812
IL 115311	A1	20000229	IL 1995-115311	19950914
CA 2158632	AA	19960322	CA 1995-2158632	19950919
CA 2158632	C	19980602		

CN 1129102	A	19960821	CN 1995-117355	19950919
AU 9531772	A1	19960404	AU 1995-31772	19950920
JP 08134073	A2	19960528	JP 1995-241698	19950920
ZA 9507925	A	19970320	ZA 1995-7925	19950920
PRIORITY APPLN. INFO.:			US 1994-310171	19940921
GI				



AB Use of title compds. [I; R1 = Me, Et, F2CH, CF3; R2 = (substituted) alkyl, alkoxyalkyl, phenoxyalkyl, cycloalkyl, polycycloalkyl, phenylaminoalkyl; A, B = bond, (substituted) alkylene, alkenyl, phenylene; Y = bond, O, imino, S; Z = (substituted) imidazolyl, pyridyl, Ph, etc.; with provisos] for inhibiting production of TNF is claimed (no data). I are useful in the treatment or alleviation of inflammatory conditions, sepsis, septic shock, tuberculosis, graft vs. host disease, multiple sclerosis and other autoimmune diseases, and cachexia associated with AIDS or cancer. Thus, 3-exo-norbornyloxy-4-methoxyacetophenone and glyoxylic acid were heated at 120° for 2.2 h. The melt was cooled to 60° and treated with H2O, aqueous NH3, and N2H4 to give 49% 6-[3-(bicyclo[2,2,1]hept-2-yloxy)-4-methoxyphenyl]-3(2H)-pyridazinone.

#### MSTR 1A



G2 = 15

<sup>15</sup>G5—G6

G5 = alkylene <containing 1-8 C>  
(opt. substd. by 1 or more G3)

G6 = Ph (opt. substd. by 1 or more G10)

G10 = alkyl <containing 1-4 C>

G13 = alkylene <containing 1-10 C>  
(opt. substd. by (1-2) G15)

G15 = CO2H / OH

Derivative: and pharmaceutically acceptable salts

Patent location: claim 1

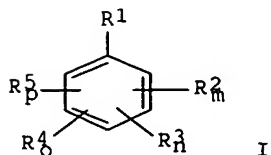
Note: substitution is restricted

Stereochemistry: racemic-diastereomeric mixtures and optical isomers

ACCESSION NUMBER: 124:55567 MARPAT Full-text  
 TITLE: Preparation of substituted benzene-derivative  
 endothelin inhibitors  
 INVENTOR(S): Astles, Peter Charles; Harper, Mark Francis; Harris,  
 Neil Victor; McLay, Ian McFarlane; Walsh, Roger John  
 Aitchison; Lewis, Richard Alan; Smith, Christopher;  
 Porter, Barry; McCarthy, Clive  
 PATENT ASSIGNEE(S): Rhone-Poulenc Rorer Ltd., UK  
 SOURCE: PCT Int. Appl., 197 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9513262	A1	19950518	WO 1994-GB2499	19941114
W:	AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LT, LU, LV, MD, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US, UZ, VN			
RW:	KE, MW, SD, SZ, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
CA 2176363	AA	19950518	CA 1994-2176363	19941114
AU 9481498	A1	19950529	AU 1994-81498	19941114
ZA 9409035	A	19960514	ZA 1994-9035	19941114
EP 728128	A1	19960828	EP 1995-900842	19941114
EP 728128	B1	19980916		
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE			
JP 09505043	T2	19970520	JP 1995-513704	19941114
AT 171158	E	19981015	AT 1995-900842	19941114
ES 2123941	T3	19990116	ES 1995-900842	19941114
US 6211234	B1	20010403	US 1997-640922	19970627
PRIORITY APPLN. INFO.:			GB 1993-23382	19931112
			GB 1994-3363	19940222
			GB 1994-10750	19940527
			WO 1994-GB2499	19941114

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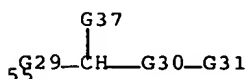
AB The title compds. [I; R1 = H, (un)substituted hydroxyalkyl, carboxyalkyl, CN, NO2, (un)substituted alkoxy, etc.; R2 = arylalkoxy, heteroarylalkoxy, arylalkylthio, etc.; R3 = HO, alkoxy, aryloxy, etc.; R4 = (un)substituted alkyl or alkenyl; R5 = alkyl, alkenyl, halogen; m-p = 0, 1], useful as endothelin inhibitors (no data) for the treatment of diseases modulated by inhibiting endothelin (no data), are prepared Thus, Me 2-benzyloxy-4-(4-

chlorobenzyl)benzoate was saponified, producing 2-benzyl-4-(4-chlorobenzyl)benzoic acid, m.p. 150-152°, in 44% yield.

MSTR 1

G28-G22-G1

G1 = alkyl <containing 1-4 C> (substd. by G2)  
G2 = CO<sub>2</sub>H / OH  
G22 = phenylene (opt. substd. by (1-3) G23)  
G28 = 55



G29 = O  
G37 = Ph (opt. substd. by 1 or more G38)  
G38 = Me

Derivative: or pharmaceutically acceptable salts, N-oxides or prodrugs

Patent location: claim 1

Note: substitution is restricted

L31 ANSWER 20 OF 26 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 121:255405 MARPAT Full-text

TITLE: Catechol diethers as selective phosphodiesterase IV inhibitors

INVENTOR(S): Duplantier, Allen J.; Eggler, James F.; Marfat, Anthony; Masamune, Hiroko

PATENT ASSIGNEE(S): Pfizer Inc., USA

SOURCE: PCT Int. Appl., 159 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

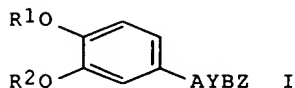
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9412461	A1	19940609	WO 1993-US10228	19931029
W: AU, BR, CA, CZ, JP, KR, NO, NZ, PL, RU, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2150812	AA	19940609	CA 1993-2150812	19931029
CA 2150812	C	20021224		
CA 2400368	AA	19940609	CA 1993-2400368	19931029
AU 9455396	A1	19940622	AU 1994-55396	19931029
AU 673569	B2	19961114		
EP 672031	A1	19950920	EP 1994-900390	19931029
EP 672031	B1	20030312		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				

JP 08501318	T2	19960213	JP 1994-513129	19931029
JP 3100984	B2	20001023		
BR 9307570	A	19990525	BR 1993-7570	19931029
AT 234270	E	20030315	AT 1994-900390	19931029
PT 672031	T	20030630	PT 1994-900390	19931029
ES 2192192	T3	20031001	ES 1994-900390	19931029
IL 107758	A1	19971120	IL 1993-107758	19931125
FI 9305379	A	19940603	FI 1993-5379	19931201
ZA 9308978	A	19950601	ZA 1993-8978	19931201
HU 65928	A2	19940728	HU 1993-3423	19931202
CN 1094028	A	19941026	CN 1993-112776	19931202
NO 9502178	A	19950801	NO 1995-2178	19950601
US 5814651	A	19980929	US 1997-872686	19970610

PRIORITY APPLN. INFO.:

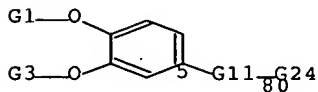
US 1992-984408	19921202
CA 1993-2150812	19931029
WO 1993-US10228	19931029
US 1993-142328	19931126

GI



AB The title compds. [I; A, B = direct bond, (un)substituted C1-5 alkylene, (un)substituted alkenyl, (un)substituted phenylene; R1 = Me, Et, CF<sub>2</sub>H, CF<sub>3</sub>; R2 = C1-6 alkyl, alkoxyalkyl, phenoxyalkyl, cycloalkyl, etc.; Y = direct bond, O, NR<sub>6</sub>, S; R<sub>6</sub> = H, C1-4 alkyl; Z = (un)substituted monocyclic or bicyclic heterocyclyl], which are inhibitors of phosphodiesterase IV (no data), useful in the treatment of inflammatory conditions (no data), etc., are prepared. Thus, 3-(carbomethoxy)benzyltriphenylphosphonium bromide was reacted with 3-cyclopentyloxy-4-methoxybenzaldehyde in the presence of BuLi, producing Me 3-[2-[3-(cyclopentyloxy)-4-methoxyphenyl]ethenyl]benzoate (36% cis-isomer, 36% trans-isomer).

MSTR 1



G3 = alkyl <containing 1-8 C> (substd. by 1 or more G7)

G6 = alkyl <containing 1-4 C>

G7 = (1) Ph (opt. substd. by 1 or more G6)

G11 = alkylene <containing 1-10 C>  
(opt. substd. by (1-2) G12)

G12 = CO<sub>2</sub>H / OH

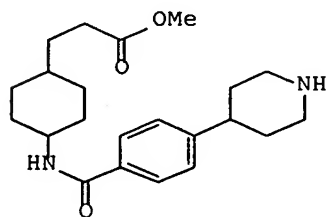
Derivative: and pharmaceutically acceptable salts



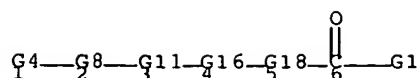
Patent location: claim 1  
Note: substitution is restricted  
Stereochemistry: racemic-diastereomeric mixtures and optical isomers

L31 ANSWER 21 OF 26 MARPAT COPYRIGHT 2006 ACS on STN  
ACCESSION NUMBER: 121:133976 MARPAT Full-text  
TITLE: Carboxylic Acid Derivatives and Their Uses as  
Pharmaceuticals  
INVENTOR(S): Himmelsbach, Frank; Linz, Guenter; Austel, Volkhard;  
Pieper, Helmut; Mueller, Thomas; Weisenberger,  
Johannes; Guth, Brian  
PATENT ASSIGNEE(S): Thomae, Dr. Karl, G.m.b.H., Germany  
SOURCE: Ger. Offen., 24 pp.  
CODEN: GWXXBX  
DOCUMENT TYPE: Patent  
LANGUAGE: German  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

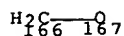
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 4241632	A1	19940616	DE 1992-4241632	19921210
CA 2111035	AA	19940611	CA 1993-2111035	19931208
EP 604800	A1	19940706	EP 1993-119786	19931208
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
FI 9305513	A	19940611	FI 1993-5513	19931209
NO 9304501	A	19940613	NO 1993-4501	19931209
JP 06239817	A2	19940830	JP 1993-308419	19931209
ZA 9309230	A	19950609	ZA 1993-9230	19931209
AU 9352306	A1	19940623	AU 1993-52306	19931210
CN 1094035	A	19941026	CN 1993-120876	19931210
PRIORITY APPLN. INFO.: GI			DE 1992-4241632	19921210



AB Pharmacol. active carboxylates were disclosed. A specifically claimed example compound, Me trans-4-[[4-(4-piperidinyl)phenyl]carbonylamino]cyclohexanepropanoate (I) was prepared. The claimed compds. are blood platelet aggregation inhibitors (antithrombotics).



G1 = OH  
 G8 = phenylene (opt. substd. by (1-2) G9)  
 G9 = Me  
 G11 = 166-2 167-4



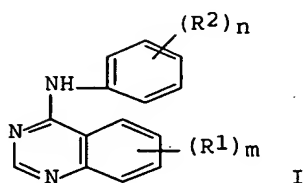
G16 = phenylene (opt. substd. by (1-2) G9)  
 G18 = alkylene (opt. substd. by G20)  
 G20 = OH  
 Derivative: and tautomers and salts  
 Patent location: claim 1  
 Note: additional ring formation specified  
 Note: also incorporates claim 10  
 Stereochemistry: and stereoisomers

L31 ANSWER 22 OF 26 MARPAT COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 120:217715 MARPAT Full-text  
 TITLE: Quinazoline tyrosine kinase-inhibiting anticancer agents  
 INVENTOR(S): Barker, Andrew J.  
 PATENT ASSIGNEE(S): Zeneca Ltd., UK  
 SOURCE: Can. Pat. Appl., 99 pp.  
 CODEN: CPXXEB  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CA 2086968	AA	19930721	CA 1993-2086968	19930108
CA 2086968	C	19980623		
ZA 9300015	A	19930720	ZA 1993-15	19930104
AU 9331010	A1	19930722	AU 1993-31010	19930104
AU 661533	B2	19950727		
HU 63153	A2	19930728	HU 1993-94	19930115
EP 566226	A1	19931020	EP 1993-300270	19930115
EP 566226	B1	19951108		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
AT 130000	E	19951115	AT 1993-300270	19930115
ES 2078798	T3	19951216	ES 1993-300270	19930115
CZ 282038	B6	19970416	CZ 1993-43	19930118
NO 9300178	A	19930721	NO 1993-178	19930119
NO 301541	B1	19971110		
RU 2127263	C1	19990310	RU 1993-4423	19930119
SK 281551	B6	20010510	SK 1993-16	19930119
FI 111631	B1	20030829	FI 1993-208	19930119
KR 229294	B1	19991101	KR 1993-645	19930120

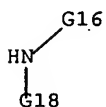
IL 104479	A1	19991222	IL 1993-104479	19930121
JP 06073025	A2	19940315	JP 1993-26577	19930216
JP 2994165	B2	19991227		
US 5457105	A	19951010	US 1994-284293	19940802
US 5616582	A	19970401	US 1995-490666	19950615
PRIORITY APPLN. INFO.:			GB 1992-1095	19920120
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			GB 1992-23735	19921112
			US 1993-5280	19930119
			US 1994-284293	19940802

GI

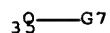


AB The title compds. I [R1 = HO, (un)substituted amino, carboxy, carbamoyl, ureido, etc.; R2 = H, HO, halogen, CF3, NH2, NO2, CN, (un)substituted C1-4 alkyl, etc.; m = 1-3; n = 1, 2], useful as tyrosine kinase-inhibiting anticancer agents (no data), are prepared and I-containing formulations presented. Thus, 4-chloro-6,7-dimethoxyquinazoline was condensed with 3-MeC6H4NH2, producing 6,7-dimethoxy-4-(3'-methylanilino)quinazoline hydrochloride, m.p. 248-249°.

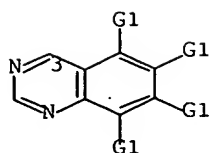
#### MSTR 1



G1 = alkyl <containing 1-4 C> (substd. by G4) / 35



G4 = OH / CO2H  
 G7 = alkyl <containing 1-4 C> (substd. by G8)  
 G8 = Ph (opt. substd. by (1-2) G15)  
 G15 = alkyl <containing 1-4 C>  
 G18 = 3

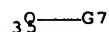


Derivative: or pharmaceutically acceptable salts  
 Patent location: claim 1  
 Note: additional ring formation possible  
 Note: substitution is restricted

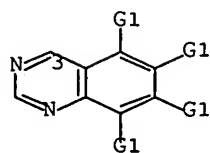
**MSTR 2**



G1 = alkyl <containing 1-4 C> (substd. by G4) / 35



G4 = OH / CO2H  
 G7 = alkyl <containing 1-4 C> (substd. by G8)  
 G8 = Ph (opt. substd. by (1-2) G15)  
 G15 = alkyl <containing 1-4 C>  
 G18 = 3



Patent location: claim 10  
 Note: additional ring formation possible  
 Note: substitution is restricted

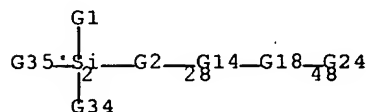
L31 ANSWER 23 OF 26 MARPAT. COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 119:74522 MARPAT Full-text  
 TITLE: Modification of fibrous materials with silanes for good dyeability  
 INVENTOR(S): Schrell, Andreas; Russ, Werner Hubert; Riehm, Thomas; Vaahs, Tilo  
 PATENT ASSIGNEE(S): Hoechst A.-G., Germany  
 SOURCE: Eur. Pat. Appl., 28 pp.

CODEN: EPXXDW  
DOCUMENT TYPE: Patent  
LANGUAGE: German  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

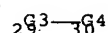
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 513656	A1	19921119	EP 1992-107668	19920506
R: BE, CH, DE, ES, FR, GB, IT, LI, PT				
DE 4210270	A1	19930930	DE 1992-4210270	19920328
CA 2068267	AA	19921112	CA 1992-2068267	19920508
JP 05171577	A2	19930709	JP 1992-116424	19920508
US 5403361	A	19950404	US 1993-105472	19930812
PRIORITY APPLN. INFO.:			DE 1991-4115461	19910511
			DE 1992-4208212	19920314
			DE 1992-4210270	19920328
			DE 1992-4210271	19920328
			US 1992-880508	19920508

AB Textile fibers (e.g., cotton, acrylic or polyester) modified by an amino group-containing silane such as  $H_2NCH_2CH_2X(CH_2)_3Si(OMe)_3$  ( $X = O, NH$ ) show good dyeability, especially with anionic dyes, in dye baths or pastes containing little or no alkali or electrolyte. Silanes containing a secondary amino group, e.g.,  $MeNHCH_2CH_2O(CH_2)_3SiMe(OEt)_2$ , are prepared and used as fiber modifiers.

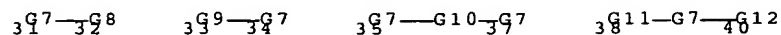
# MSTR 1B



G2 = 30-28 29-2



G3 = 31-30 32-2 / 33-30 34-2 / 35-30 37-2 /  
38-30 40-2



G4 = O  
G5 = OH / CO<sub>2</sub>H  
G6 = Me  
G7 = alkylene <containing 1-6 C> (opt. substd. by G5)  
G9 = phenylene (opt. substd. by G6)  
G14 = bond

G18 = 49-28 50-48 / 51-28 52-48 / 53-28 55-48 /  
56-28 58-48

~~497-5019~~    ~~5120-527~~    ~~537-G21-557~~    ~~5622-G7-5823~~

G19 = phenylene (opt. substd. by G6)  
Patent location: claim 2  
Note: substitution is restricted

L31 ANSWER 24 OF 26 MARPAT COPYRIGHT 2006 ACS on STN  
ACCESSION NUMBER: 115:28892 MARPAT Full-text  
TITLE: Preparation of phenylalkan(en)ic acids as leukotriene  
B4 antagonists.  
INVENTOR(S): Konno, Mitoshi; Nakae, Takahiko; Hamanaka, Nobuyuki  
PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan  
SOURCE: Eur. Pat. Appl., 205 pp.  
CODEN: EPXXDW  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

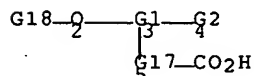
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 405116	A2	19910102	EP 1990-109294	19900516
EP 405116	A3	19920415		
EP 405116	B1	19951206		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
CA 2019335	AA	19901227	CA 1990-2019335	19900507
CA 2019335	C	20000801		
JP 03261752	A2	19911121	JP 1990-123146	19900515
JP 07039369	B4	19950501		
EP 619296	A1	19941012	EP 1994-108324	19900516
EP 619296	B1	19970312		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
EP 652208	A1	19950510	EP 1994-118144	19900516
EP 652208	B1	19980114		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
AT 131154	E	19951215	AT 1990-109294	19900516
ES 2083396	T3	19960416	ES 1990-109294	19900516
AT 150006	E	19970315	AT 1994-108324	19900516
ES 2102097	T3	19970716	ES 1994-108324	19900516
AT 162181	E	19980115	AT 1994-118144	19900516
ES 2114117	T3	19980516	ES 1994-118144	19900516
US 5086065	A	19920204	US 1990-524521	19900517
KR 143404	B1	19980715	KR 1990-7107	19900518
US 5155104	A	19921013	US 1991-760043	19910913
US 5256686	A	19931026	US 1992-921342	19920729
JP 06072947	A2	19940315	JP 1993-131187	19930507
JP 08019040	B4	19960228		
US 5457122	A	19951010	US 1993-90456	19930713
US 5795914	A	19980818	US 1995-462815	19950605
US 6001877	A	19991214	US 1998-81549	19980520
PRIORITY APPLN. INFO.:			JP 1989-164213	19890627
			JP 1989-310545	19891201
			JP 1990-1799	19900109

EP 1990-109294	19900516
US 1990-524521	19900517
US 1991-760043	19910913
US 1992-921342	19920729
US 1993-90456	19930713
US 1995-462815	19950605

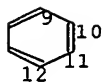
GI For diagram(s), see printed CA Issue.

AB Title compds. I (A = NHCO, O, NHSO<sub>2</sub>, CO, CH<sub>2</sub>, CHOH; W = C1-13 alkylene, phenylene, C<sub>6</sub>H<sub>4</sub>CH<sub>2</sub>; R1 = H, C1-4 alkyl, HO<sub>2</sub>C, (unsatd.) 4-7-membered N-heterocyclyl, carbamoyl, HOCH<sub>2</sub>; AWR1 = Q1, Q2, Q3, etc.; Y = CH<sub>2</sub>CH<sub>2</sub>, CH:CH; D = hydroxyalkenylene, etc.), are prepared tert-Bu 3-[1-[6-(4-methoxyphenyl)hex-5(E)-enyl]oxy-4-(4-carboxybutanamido)benzen-2-yl]propionate (preparation starting from 2-hydroxy-5-nitrobenzaldehyde given) in THF/Et<sub>3</sub>N was treated with ClCO<sub>2</sub>Et at -10° and then with Me<sub>2</sub>NH to give the dimethylamide derivative which was hydrolyzed in HCO<sub>2</sub>H to give the title acid-amide E-II. II inhibited binding of 3H-LTB<sub>4</sub> to human polymorphonuclear leukocyte LTB<sub>4</sub> receptors with IC<sub>50</sub> = 0.045 μM. A tablet formulation containing 3-[1-[6-(4-methoxyphenyl)hex-5(E)-enyl]oxy-3-(4-carboxybutyl)oxybenzen-2-yl]propionic acid is given.

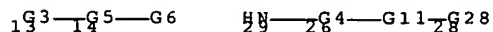
#### MSTR 1A



G1 = 12-2 11-5 10-4 / 12-2 11-5 9-4



G2 = 13 / 29

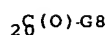


G3 = 154

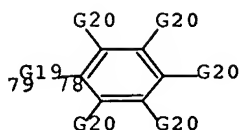


G5 = alkylene <containing 1-13 C>

G6 = 20



G8 = OH  
G18 = 79



G19 = alkylene <containing 3-11 C>  
G20 = alkyl <containing 1-8 C>  
G28 = 20

<sub>26</sub>(O)-G8

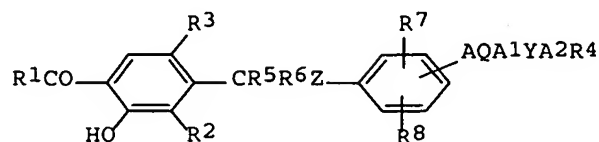
G29 = OH  
Derivative: and non-toxic salts  
Patent location: claim 1

L31 ANSWER 25 OF 26 MARPAT COPYRIGHT 2006 ACS on STN  
ACCESSION NUMBER: 110:114449 MARPAT Full-text  
TITLE: Preparation of (phenylmethoxy)benzenealkanoic acids  
and analogs as leukotriene antagonists  
INVENTOR(S): Dillard, Robert Delane; McCullough, Doris Elfriede;  
Carr, Francis Patrick  
PATENT ASSIGNEE(S): Eli Lilly and Co., USA  
SOURCE: Eur. Pat. Appl., 34 pp.  
CODEN: EPXXDW  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

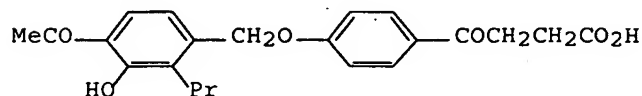
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 288190	A1	19881026	EP 1988-303179	19880408
EP 288190	B1	19920708		
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US 4874777	A	19891017	US 1987-37284	19870410
CA 1327588	A1	19940308	CA 1988-563379	19880406
AU 8814334	A1	19881027	AU 1988-14334	19880407
AU 608311	B2	19910328		
DK 8801883	A	19890112	DK 1988-1883	19880407
ZA 8802420	A	19891227	ZA 1988-2420	19880407
JP 63277642	A2	19881115	JP 1988-88029	19880408
CN 88101939	A	19881214	CN 1988-101939	19880408
HU 54338	A2	19910228	HU 1988-1791	19880408
HU 203517	B	19910828		
SU 1731042	A3	19920430	SU 1988-4355477	19880408
AT 78023	E	19920715	AT 1988-303179	19880408



ES 2041791 T3 19931201 ES 1988-303179 19880408  
 PRIORITY APPLN. INFO.: US 1987-37284 19870410  
 EP 1988-303179 19880408  
 OTHER SOURCE(S): CASREACT 110:114449  
 GI



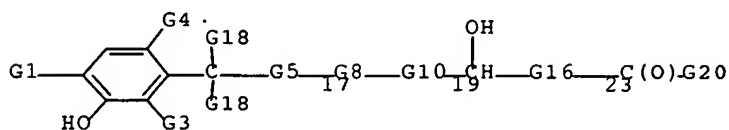
I



II

AB The title compds. [I; R1 = H, C1-6 alkyl, C3-8 cycloalkyl, phenyl-C1-3 alkyl, (un)substituted Ph; R2 = C1-10 alkyl, C2-6 alkenyl, PhCH2, PhCH2CH2; R3 = H, C1-3 alkyl, Br, Cl, R2N; R = H, C1-3 alkyl; R4 = CO2H, C1-4 alkoxy, carbonyl, cyano, tetrazol-5-yl, 1,2,5-thiadiazol-3-yl, 2-thioxo-4-thiazolidinonyl; R5, R6 = H, C1-3 alkyl, Ph, PhCH2; R7, R8 = H, C1-3 alkyl, C1-3 alkoxy, OH, NH2, halo; A, A1, A2 = bond, C1-10 alkylene, C2-4 alkenylene, C5-10 cycloalkylene; Q = CO, CHOH; Y = CO, CHOH, O, bond; Z = O, RN, S(O)n; n = 0-2; the group AQAlY may form a fused carbocyclic or heterocyclic ring with the benzene ring to which it is attached; A, A1, A2 may not simultaneously = bond; when Y ≠ bond, A1 ≠ bond] were prepared I (R4 ≠ cyano) are leukotriene antagonists, useful in treatment of allergic disorders such as asthma. PhOMe underwent Friedel-Crafts acylation with succinic acid to give 4-MeOC6H4COCH2CH2CO2H which was demethylated with 48% HBr and esterified to give 4-HOC6H4COCH2CH2CO2Et. The latter was etherified with 4'-(chloromethyl)-2'-hydroxy-3'-propylacetophenone and the product was saponified to give title compound II. In isolated guinea pig ileum II gave 97% inhibition of leukotriene D4-induced contraction at 3 × 10<sup>-7</sup> M. Tablets were prepared each containing 1-[4-[(4-acetyl-3-hydroxy-2-propyl)phenyl]methoxy]phenyl]-3,3-dimethyl-1-butanone 250, microcryst. cellulose 400, fumed silica 10, and Mg stearate 5 mg.

MSTR 1E



G3 = carbon chain <containing 1-10 C, no triple bonds>  
 G4 = alkyl <containing 1-3 C>  
 G5 = O  
 G8 = phenylene (opt. substd.)  
 G10 = bond

G16 = carbon chain <containing 1-10 C, no triple bonds>  
 G20 = OH  
 Derivative: or a pharmaceutically acceptable salt  
 Patent location: claim 1  
 Note: substitution is restricted

L31 ANSWER 26 OF 26 MARPAT COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 109:128570 MARPAT Full-text  
 TITLE: Preparation of pyrocatechol derivatives for treating  
 Parkinson's disease  
 INVENTOR(S): Backstrom, Reijo Johannes; Heinola, Kalevi Evert;  
 Honkanen, Erkki Juhani; Kaakkola, Seppo Kalevi;  
 Kairisalo, Pekka Juhani; Linden, Inge Britt Yvonne;  
 Mannistoe, Pekka Topias; Nissinen, Erkki Aarne Olavi;  
 Pohto, Pentti; et al.  
 PATENT ASSIGNEE(S): Orion-Yhtymä Oy, Finland  
 SOURCE: Ger. Offen., 40 pp.  
 CODEN: GWXXBX  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 4  
 PATENT INFORMATION:

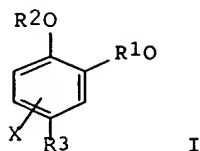
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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DE 3740383	A1	19880601	DE 1987-3740383	19871127
DE 3740383	C2	19970925		
CN 87108011	A	19880608	CN 1987-108011	19871126
CN 1040062	B	19981007		
DK 8706230	A	19880529	DK 1987-6230	19871127
DK 175394	B1	20040920		
FI 8705229	A	19880529	FI 1987-5229	19871127
FI 93350	B	19941215		
FI 93350	C	19950327		
SE 8704751	A	19880529	SE 1987-4751	19871127
SE 503434	C2	19960617		
NO 8704966	A	19880530	NO 1987-4966	19871127
NO 171450	B	19921207		
NO 171450	C	19930317		
AU 8781879	A1	19880602	AU 1987-81879	19871127
AU 621036	B2	19920305		
FR 2607493	A1	19880603	FR 1987-16457	19871127
FR 2607493	B1	19940812		
NL 8702857	A	19880616	NL 1987-2857	19871127
NL 194821	B	20021202		
NL 194821	C	20030403		
JP 63150237	A2	19880622	JP 1987-301387	19871127
JP 2735834	B2	19980402		
JP 63170311	A2	19880714	JP 1987-301388	19871127
JP 08005781	B4	19960124		
GB 2200109	A1	19880727	GB 1987-27854	19871127
GB 2200109	B2	19910703		
ZA 8708953	A	19880727	ZA 1987-8953	19871127
HU 45473	A2	19880728	HU 1987-5352	19871127
HU 206073	B	19920828		
ES 2008359	A6	19890716	ES 1987-3401	19871127
US 4963590	A	19901016	US 1987-126911	19871127
PL 152642	B1	19910131	PL 1987-269091	19871127
PL 154006	B1	19910628	PL 1987-283185	19871127

CA 1289078	A1	19910917	CA 1987-552986	19871127
BE 1003279	A5	19920218	BE 1987-1356	19871127
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CS 277018	B6	19921118	CS 1988-8440	19871127
RU 2014319	C1	19940615	RU 1987-4203731	19871127
CA 1334967	A1	19950328	CA 1987-552987	19871127
CH 685436	A	19950714	CH 1987-4633	19871127
AT 8703129	A	19951015	AT 1987-3129	19871127
AT 401053	B	19960625		
DD 281375	A5	19900808	DD 1987-309670	19871130
SU 1729291	A3	19920423	SU 1989-4613317	19890123
US 5112861	A	19920512	US 1990-587791	19900925
SK 279658	B6	19990211	SK 1991-3130	19911015
HR 921250	B1	20000630	HR 1992-921250	19921112
US 5283352	A	19940201	US 1992-987245	19921207
LV 10236	B	19950620	LV 1993-805	19930630
LT 3770	B	19960325	LT 1993-915	19930831
US 5446194	A	19950829	US 1993-121617	19930916

PRIORITY APPLN. INFO.:

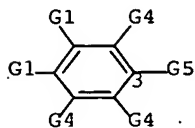
FI 1986-4875	19861128
GB 1987-12437	19870528
US 1987-126911	19871127
YU 1989-21	19890106
US 1990-587791	19900925
US 1991-792655	19911115
US 1992-987245	19921207

GI



AB Title compds. I [R1,R2 = H, alkyl, (substituted) acyl, aroyl etc.; R1R2 = (cyclo)alkylidene; X = electroneg. substituent; R3 = H, halo, (substituted) alkyl, alkoxy, alkenyl, NO2, amino, amido etc.] are prepared for treating Parkinsonism. Condensation of 5.0 g 3,4-dihydroxy-5- nitrobenzaldehyde and 2.0 g cyclopentanone gave 78% 2,5-bis(3,4-dihydroxy- 5-nitrobenzylidene)cyclopentanone which had IC50 of 3 nM as catechol-O-methyltransferase inhibitor in vitro.

MSTR 1A



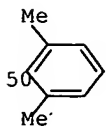
G1 = 9

G—G2

G2 = 11

<sub>11</sub>C(O)G3

G3 = 50



G5 = alkyl <containing up to 20 C>  
(opt. substd. by 1 or more G6)

G6 = OH / CO2H

Derivative: and physiologically acceptable salts  
Patent location: claim 1

=> file wpix

FILE 'WPIX' ENTERED AT 16:54:41 ON 31.OCT 2006

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FILE LAST UPDATED: 27 OCT 2006 <20061027/UP>

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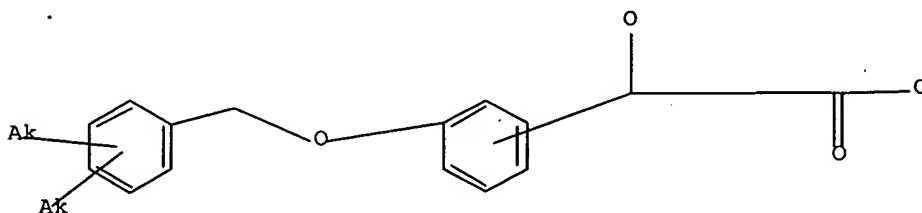
A preliminary version of the Database Summary Sheet is available at:

<http://www.stn-international.de/stndatabases/details/wpi.pdf>

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=> d que 138

L5 STR



Structure attributes must be viewed using STN Express query preparation.

L33 1 SEA FILE=WPIX SSS FUL L5  
L34 1 SEA FILE=WPIX ABB=ON PLU=ON L33/DCR  
L35 1 SEA FILE=WPIX ABB=ON PLU=ON RAFW5L/DCN  
L38 1 SEA FILE=WPIX ABB=ON PLU=ON (L34 OR L35)

=> d all abeq tech 138 tot

L38 ANSWER 1 OF 1 WPIX COPYRIGHT 2006 THE THOMSON CORP on STN  
AN 2004-775514 [76] WPIX Full-text  
DNC C2004-271517 [76]  
TI New carboxylic acid derivatives, useful for treating e.g. diabetes and associated diseases such as atherosclerosis, obesity, hyperlipidemia, fatty liver disease, nephropathy, neuropathy, retinopathy and cataracts  
DC B05  
IN HODGE K; HODGE K L; SHARMA S; VON BORSTEL R; VON BORSTEL R W; WOLPE S; WOLPE S D; BORSTEL R W V  
PA (WELL-N) WELLSTAT THERAPEUTICS CORP; (HODG-I) HODGE K L; (SHAR-I) SHARMA S; (VBOR-I) VON BORSTEL R W; (WOLP-I) WOLPE S D  
CYC 107  
PI WO 2004091486 A2 20041028 (200476)\* EN 47[0]  
US 20060014784 A1 20060119 (200607) EN  
NO 2005004791 A 20051220 (200612) NO  
EP 1633340 A2 20060315 (200620) EN A61K031-19  
BR 2004009469 A 20060418 (200628) PT A61K031-19  
MX 2005011042 A1 20060101 (200637) ES A61K000-00000  
AU 2004229418 A1 20041028 (200638) EN A61K031-19  
KR 2005121262 A 20051226 (200652) KO A61K031-19  
CN 1774244 A 20060517 (200663) ZH A61K031-185  
JP 2006523696 W 20061019 (200669) JA 40  
ADT WO 2004091486 A2 WO 2004-US10799 20040408; US 20060014784 A1 Provisional  
US 2003-462960P 20030415; AU 2004229418 A1 AU 2004-229418 20040408; BR  
2004009469 A BR 2004-9469 20040408; CN 1774244 A CN 2004-80010105  
20040408; EP 1633340 A2 EP 2004-759257 20040408; US 20060014784 A1 WO

2004-US10799 20040408; EP 1633340 A2 WO 2004-US10799 20040408; BR 2004009469 A WO 2004-US10799 20040408; MX 2005011042 A1 WO 2004-US10799 20040408; KR 2005121262 A WO 2004-US10799 20040408; US 20060014784 A1 US 2005-531618 20050414; KR 2005121262 A KR 2005-719565 20051014; MX 2005011042 A1 MX 2005-11042 20051014; NO 2005004791 A NO 2005-4791 20051018; JP 2006523696 W WO 2004-US10799 20040408; JP 2006523696 W JP 2006-509802 20040408

FDT EP 1633340 A2 Based on WO 2004091486 A; BR 2004009469 A Based on WO 2004091486 A; MX 2005011042 A1 Based on WO 2004091486 A; AU 2004229418 A1 Based on WO 2004091486 A; KR 2005121262 A Based on WO 2004091486 A; JP 2006523696 W Based on WO 2004091486 A

PRAI US 2003-462960P 20030415

US 2005-531618 20050414

IC ICM A61K005-; A61K031-19

ICS A61K031-34; A61K031-36; A61P003-10

IPCI A61K0031-185 [I,C]; A61K0031-185 [I,C]; A61K0031-19 [I,A]; A61K0031-19 [I,A]; A61K0031-195 [I,A]; A61K0031-34 [I,A]; A61K0031-34 [I,A]; A61K0031-357 [I,C]; A61K0031-36 [I,A]; A61K0031-36 [I,A]; A61K0031-47 [I,A]; A61P0003-00 [I,C]; A61P0003-04 [I,A]; A61P0003-06 [I,A]; A61P0003-10 [I,A]; A61K0031-192 [I,A]; A61P0001-00 [I,C]; A61P0001-16 [I,A]; A61P0025-00 [I,A]; A61P0027-00 [I,C]; A61P0027-02 [I,A]; A61P0027-12 [I,A]; A61P0003-00 [I,C]; A61P0003-04 [I,A]; A61P0003-06 [I,A]; A61P0003-10 [I,A]; A61P0007-00 [I,A]; A61P0009-00 [I,C]; A61P0009-10 [I,A]; A61P0009-12 [I,A]

IPCR A61K [I,S]; A61K0031-185 [I,C]; A61K0031-19 [I,A]; A61K0031-34 [I,A]; A61K0031-34 [I,C]; A61K0031-357 [I,C]; A61K0031-36 [I,A]

AB WO 2004091486 A2 UPAB: 20060217

NOVELTY - Carboxylic acid derivatives (I) are new.

DETAILED DESCRIPTION - Carboxylic acid derivatives of formula (I) and their salts are new.

n = 1 or 2;

m = 0-4;

q, t = 0 or 1;

R2 = 1-3C alkyl;

R3 = H, halo, 1-3C alkyl or 1-3C alkoxy;

A = phenyl (optionally substituted by one or two halo, 1-2C alkyl, perfluoromethyl, 1-2C alkoxy or perfluoromethoxy), 3-6C cycloalkyl (optionally substituted by methyl or ethyl), or 5-6 membered heteroaromatic ring having 1 or 2 ring heteroatoms selected from N, S or O and bound to the remainder of (I) by a ring carbon;

R1 = H or 1-2C alkyl.

Provided that when m is 0 or 1, then R1 is other than hydrogen.

ACTIVITY - Antidiabetic; Antiarteriosclerotic; Anorectic; Hypotensive; Antilipemic; Ophthalmological; Nephrotropic; Neuroprotective; Immunomodulator; Antiulcer.

Antidiabetic and antilipemic efficacy of 4-(3-(2,6-dimethylbenzyloxy)-phenyl)-4-hydroxybutanoic acid (Ia) was evaluated in male obese C57BL/Ksola mice (serum glucose levels at least 300 mg/dl). The mice were treated with an oral dosage of 100 mg/kg/day of (Ia) (test) or vehicle (control) for 4 weeks. The analysis of blood samples in test/control treated mice showed glucose levels (mg/dl) of 235+/-49/747+/-19; and triglyceride levels (mg/dl) of 182+/-29/621+/-54 respectively.

MECHANISM OF ACTION - None given.

USE - In the manufacture of medicament for treating insulin resistance syndrome, diabetes of types (I) and (II), and cachexia; for treating and reducing the chances of developing atherosclerosis, arteriosclerosis, obesity, hypertension, hyperlipidemia, fatty liver disease, nephropathy, neuropathy, retinopathy, foot ulceration and cataracts associated with diabetes, in mammals e.g. human (claimed).

ADVANTAGE - The compounds are orally active therapeutic agents which effectively target the primary defects of insulin resistance and islet failure with fewer or milder side effects.

MC CPI: B07-H; B10-B03B; B10-E04C; B14-E12; B14-F02B; B14-F06; B14-F07;  
B14-F09; B14-J02; B14-N03; B14-N10; B14-N12; B14-N17; B14-S04

TECH

ORGANIC CHEMISTRY - Preparation: 5 Methods for preparations (I) are given, e.g. involving Mitsunobu condensation of phenol (disubstituted by R3 and C(O)CH3) (II) with alcohol of formula A(CH2)(t+n)-OH using triphenylphosphine and diethyl azodicarboxylate or diisopropyl azodicarboxylate in a solvent; transesterification of the resultant O-alkylated phenol of formula (III) with alkylating agent of formula Br-(CH2)p-C(O)OR4 in presence of molar equivalent of conventional base and inert solvent followed by reduction and optional ester hydrolysis to form (I') ((I): m = 2-4, q = 1, R2 = 1-3C alkyl, R3 = H, halo, 1-3C alkyl or 1-3C alkoxy and R1 = H or 1-2C alkyl).